

#### Davis 10/646348

02/17/2006

=> file registry

FILE \*REGISTRY\* ENTERED AT 11:32:03 ON 17 FEB 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 FEB 2006 HIGHEST RN 874326-73-5 DICTIONARY FILE UPDATES: 15 FEB 2006 HIGHEST RN 874326-73-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

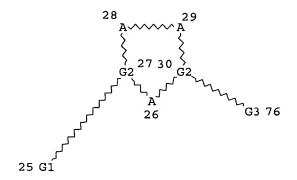
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

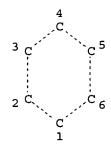
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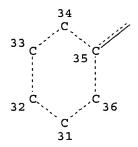
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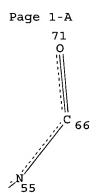
L1 STR

C 77 N 78



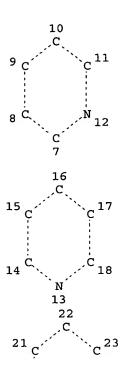


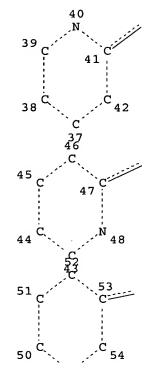


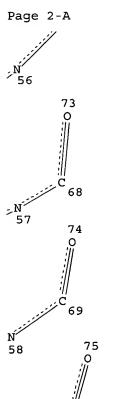




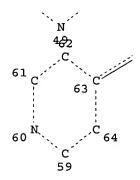
Page 1-B







Page 2-B





Page 3-B VAR G1=5/11/17/23 VAR G2=77/78VAR G3=33/39/45/51/61 NODE ATTRIBUTES: ΑT NSPEC IS R 1 NSPEC IS R ΑT 2 NSPEC IS R ΑT 3 4 NSPEC IS R AT5 NSPEC IS R ATNSPEC IS R AT6 IS R 7 NSPEC ATNSPEC IS R AΤ 8 NSPEC IS R ΑT 9 NSPEC IS R ΑT 10 NSPEC IS R ΑT 11 IS R NSPEC ΑT 12 NSPEC IS R AT13 IS R ΑT NSPEC 14 IS R NSPEC ΑT 15 IS R NSPEC ΑT 16 NSPEC IS R AΤ 17 NSPEC IS R AΤ 18 19 **NSPEC** IS R AΤ NSPEC IS R AT20 NSPEC IS R AΤ 21 NSPEC IS R AT22 NSPEC IS R AT 23 NSPEC IS R ΑT 24 NSPEC IS C ΑT 25 NSPEC IS R ΑT 26 NSPEC IS R AT27 NSPEC IS R AT 28 NSPEC IS R ΑT 29 NSPEC IS R AΤ 30 NSPEC IS R AT 31 NSPEC IS R ΑT 32 NSPEC IS R AT 33 NSPEC IS R AT 34

```
NSPEC
       IS R
                AT 35
NSPEC
       IS R
                AT 36
NSPEC IS R
                AT 37
NSPEC
      IS R
                AT 38
                AT 39
NSPEC
      IS R
NSPEC IS R
                AT 40
NSPEC IS R
                AT 41
NSPEC IS R
                AT 42
                AT 43
NSPEC IS R
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                AT 44
                AT 45
NSPEC IS R
                 AT 46
NSPEC IS R
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                 AT 48
      IS R
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      IS R
                 AT 49
NSPEC
NSPEC
       IS R
                 AT 50
       IS R
                 AT 51
NSPEC
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                 AT 52
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                 AT 53
NSPEC
                AT 54
       IS R
NSPEC
       IS C
                 AT 55
NSPEC
       IS C
                AT 56
NSPEC
       IS C
                AT 57
NSPEC
       IS C
                AT 58
NSPEC
       IS R
                 AT 59
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                 AT 60
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                 AT
                 AT 63
       IS R
NSPEC
                 AT 64
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                 AT 65
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                 AT 66
       IS C
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                    69
       IS C
NSPEC
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                    70
                    71
       IS C
NSPEC
                 \mathtt{AT}
       IS C
                    72
NSPEC
                 AT
                 AT 73
       IS C
NSPEC
                    74
       IS C
NSPEC
                 AT
       IS C
                    75
NSPEC
                 AT
        IS C
                     76
NSPEC
                 AT
CONNECT IS E3 RC AT
                     66
CONNECT IS E3
              RC AT
                     67
              RC AT
CONNECT IS E3
                     68
CONNECT IS E3
              RC AT
                     69
              RC AT
CONNECT IS E3
                     70
CONNECT IS E1
              RC AT
                     71
CONNECT IS E1
              RC AT
                     72
              RC AT
CONNECT IS E1
                     73
CONNECT IS E1
              RC AT
                     74
CONNECT IS E1 RC AT
                     75
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 55 56 57 58 65 66 67 68 69 70 71 72 73 74 75
DEFAULT ECLEVEL IS LIMITED
```

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 78

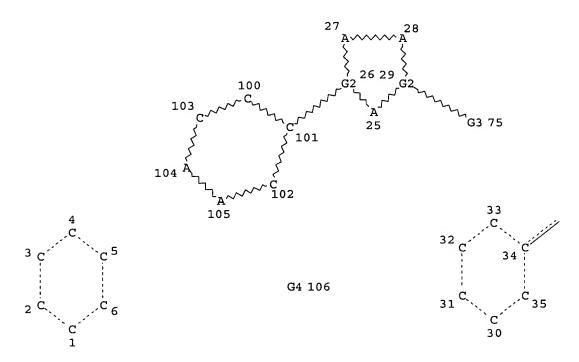
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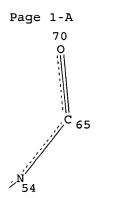
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L10 STR

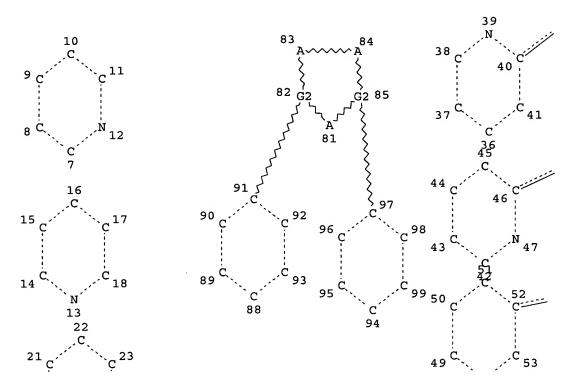
C 107N 108

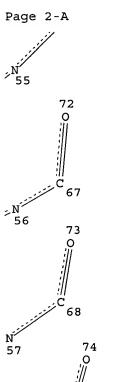




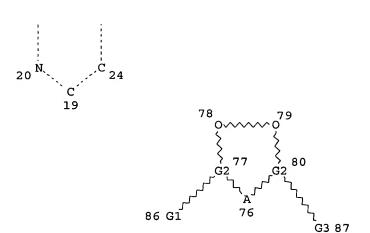


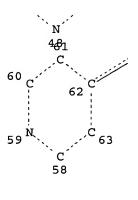
Page 1-B





Page 2-B





Page 3-A



Page 3-B VAR G1=5/11/17/23 VAR G2=107/108 VAR G3=32/38/44/50/60 VAR G4=27/78/90 NODE ATTRIBUTES: NSPEC IS R AT1 NSPEC IS R AT 2 IS R ATNSPEC 3 IS R ATNSPEC 4 IS R ΑT 5 NSPEC IS R NSPEC ΑT 6 IS R 7 NSPEC AT IS R NSPEC AT8 IS R NSPEC AT9 NSPEC IS R AT10 IS R NSPEC AT11 NSPEC IS R AT12 IS R NSPEC AT13 IS R NSPEC AT 14 IS R 15 NSPEC ATIS R NSPEC AT16 IS R NSPEC AT17 IS R NSPEC AΤ 18 IS R NSPEC AT 19 IS R NSPEC AT 20 IS R NSPEC ΑT 21 NSPEC IS R AT22 IS R NSPEC AT23 IS R NSPEC AT24 NSPEC IS R AT25 NSPEC IS R AT26 NSPEC IS R AT27 NSPEC IS R AT28 NSPEC IS R AT29 NSPEC IS R AT30

NSPEC	IS	R	AT	31
NSPEC	IS	R	AT	32
NSPEC	IS	R	AT	33
NSPEC	IS	R	AT	34
NSPEC NSPEC	IS	R	AT	35
NSPEC	IS	R	AT	36
NSPEC	IS	R	AT	37
NSPEC	IS	R	$\mathtt{AT}$	38
NSPEC	IS	R	AT	39
NSPEC	IS	R	AT	40
NSPEC	IS	R	AT	41
NSPEC	IS	R	AT	42
NSPEC	IS	R	AT	43
NSPEC	IS	R	AT	44
NSPEC	IS	R	AT	45
NSPEC	IS	R	AT	46
NSPEC	IS	R	AT	47
NSPEC	IS	R	AT	48
NSPEC			AT	49
	IS	R		
NSPEC	IS	R	AT	50
NSPEC	IS	R	AT	51
NSPEC	IS	R	AΤ	52
NSPEC	IS	R	$\mathbf{AT}$	53
NSPEC	IS	C	AT	54
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NSPEC	IS	R	AΤ	60
NSPEC	IS	R	AT	61
NSPEC	IS	R	AT	62
NSPEC	IS	R	AT	63
NSPEC	IS	C	AT	64
NSPEC	IS	C	AT	65
NSPEC	IS	C	AT	66
~				
NSPEC	IS	C	AT	67
NSPEC.	IS	C	AT	68
NSPEC	IS	C	AT	69
NSPEC	IS	C	AT	70
NSPEC	IS	C	AΤ	71
NSPEC	IS	C	$\mathtt{AT}$	72
NSPEC	IS	C	$\mathtt{AT}$	73
NSPEC	IS	C	AT	74
NSPEC	IS	C	AT	75
NSPEC	IS	R	AT	76
NSPEC	IS	R	AT	77
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NSPEC	IS	R	AΤ	79
NSPEC	IS	R	AT	80
NSPEC	IS	R	AT	81
NSPEC	IS	R	AT	82
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NSPEC	IS	R	TA	90

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NSPEC
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                 AT 91
NSPEC
       IS R
                 AΤ
                     92
NSPEC
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                 AΤ
                     93
NSPEC
       IS R
                 AT
                     94
       IS R
                     95
NSPEC
                 AT
       IS R
                     96
NSPEC
                 AT
NSPEC
     IS R
                 AT
                     97
                     98
NSPEC
     IS R
                 AΤ
                    99
NSPEC
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NSPEC
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NSPEC
       IS R
                 AT 101
NSPEC
       IS R
                 AT 102
NSPEC
       IS R
                 AT 103
NSPEC
       IS R
                 AT 104
       IS R
                 AT 105
NSPEC
       IS C
                 AT 106
NSPEC
CONNECT IS E3 RC AT
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CONNECT IS E3 RC AT
                     66
CONNECT IS E3
              RC AT
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CONNECT IS E3 RC AT
                     68
CONNECT IS E3 RC AT
                     69
CONNECT IS E1 RC AT
                     70
CONNECT IS E1 RC AT
                     71
CONNECT IS E1 RC AT
                     72
CONNECT IS E1 RC AT
                     73
CONNECT IS E1 RC AT
                    74
CONNECT IS E2 RC AT 100
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DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 54 55 56 57 64 65 66 67 68 69 70 71 72 73 74
DEFAULT ECLEVEL IS LIMITED
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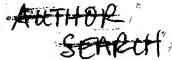
### GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 108

STEREO ATTRIBUTES: NONE	these 4
L12 180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10	(out of
	•
s(phenylmethoxy)phenyl)-1-(2-pyridinyl)-1h-pyrazol-3-yl)phenyl)/	the 180
-, FIRMIDADINID DOIDE / CN	, , , , ,
L14 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO)	"not"
PHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN	structures
L15 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2)	Shara d
-CHLOROPHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C	are still
N	and
L16 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,	70001
N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI	•
DINYL) - "/CN	
L17 4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)	
L18 101 SEA FILE=REGISTRY ABB=ON PLU=ON L3	
'L19 105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17 STRUCTURE QUERY 1	_#
·	

## => file caplus

FILE 'CAPLUS' ENTERED AT 11:32:05 ON 17 FEB 2006
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FILE COVERS 1907 - 17 Feb 2006 VOL 144 ISS 9 FILE LAST UPDATED: 16 Feb 2006 (20060216/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

## => d que nos L27

1 .						
L27	5	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L24 AND L25 AND L26
L26						PARTRIDGE J?/AU
L25	208	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	GOFF D?/AU
L24	10289	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	SINGH R?/AU

#### => d que nos L28

L24	10289	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	SINGH R?/AU
L25	208	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	GOFF D?/AU
L26	3 <u>96</u>	_SEA_	FILE=CAPLUS	ABB=ON	PLU=ON	PARTRIDGE J?/AU
£28	9.	_SEA-	_FILE=CAPLUS	ABB=ON_	_PLU=ON_	L24 AND (L25 OR L26)

# => d que nos L29

```
L25 208 SEA FILE=CAPLUS ABB=ON PLU=ON GOFF D?/AU
L26 396 SEA FILE=CAPLUS ABB=ON PLU=ON PARTRIDGE J?/AU
L29 5-SEA FILE=CAPLUS ABB=ON PLU=ON L25-AND-L26-
```

## => d que nos L46

```
STR
L.1
        4356201) SEA FILE=REGISTRY ABB=ON PLU=ON NC5/ESS
L2 (
            281 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
T.3
L10
                STR
            180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10
L12
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "CARBAMIC ACID, (3-(5-(3,4-BI
L13
                S (PHENYLMETHOXY) PHENYL) -1-(2-PYRIDINYL) -1H-PYRAZOL-3-YL) PHENYL)
                -, PHENYLMETHYL ESTER"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO
L14
                PHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2
L15
                -CHLOROPHENYL) -4 - (3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,
L16
```

```
N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI
                DINYL) - "/CN
              4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)
L18
           101 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L12
           105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17
             14 SEA FILE=CAPLUS ABB=ON PLU=ON L19
L24
          10289 SEA FILE=CAPLUS ABB=ON PLU=ON SINGH R?/AU
            208 SEA FILE=CAPLUS ABB=ON PLU=ON GOFF D?/AU
L25
            396 SEA FILE=CAPLUS ABB=ON PLU=ON PARTRIDGE J?/AU
L26
              2 SEA FILE=CAPLUS ABB=ON PLU=ON (124 OR L25 OR L26) AND L20
L46
                    (author search results that were also structure
=> s L27-L29 or L46
             9 (L27 OR L28 OR L29) OR L46 ^{7}
L47
=> file uspatfull
FILE 'USPATFULL' ENTERED AT 11:32:09 ON 17 FEB 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Feb 2006 (20060216/PD)
FILE LAST UPDATED: 16 Feb 2006 (20060216/ED)
HIGHEST GRANTED PATENT NUMBER: US7000250
HIGHEST APPLICATION PUBLICATION NUMBER: US2006037120
CA INDEXING IS CURRENT THROUGH 14 Feb 2006 (20060214/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Feb 2006 (20060216/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005
=> d que nos L33
         565 SEA FILE=USPATFULL ABB=ON PLU=ON SINGH R?/AU
73 SEA FILE=USPATFULL ABB=ON PLU=ON GOFF D?/AU
83 SEA FILE=USPATFULL ABB=ON PLU=ON PARTRIDGE J?/AU
L30
L31
L32
             5 SEA FILE-USPATFULL ABB-ON PLU-ON L30 AND L31 AND L32
/L33
=> d que nos L34
           565 SEA FILE=USPATFULL ABB=ON PLU=ON SINGH R?/AU
L30
            73 SEA FILE=USPATFULL ABB=ON PLU=ON GOFF D?/AU
L31
           83 SEA FILE=USPATFULL ABB=ON PLU=ON PARTRIDGE J?/AU
L32
             7 SEA FILE=USPATFULL ABB=ON PLU=ON L30 AND (L31 OR L32)
L34
=> d que nos L35
             73 SEA FILE=USPATFULL ABB=ON PLU=ON GOFF D?/AU
L31
L32
             83 SEA FILE=USPATFULL ABB=ON PLU=ON PARTRIDGE J?/AU
             5 SEA FILE=USPATFULL ABB=ON PLU=ON L31 AND L32
L35
=> d que nos L43
L1
L2 ( 4356201)SEA FILE=REGISTRY ABB=ON PLU=ON NC5/ESS
           281 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
L3
                STR
L10
```

```
180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10
L12
                1 SEA FILE=REGISTRY ABB=ON PLU=ON "CARBAMIC ACID, (3-(5-(3,4-BI
L13
                  S (PHENYLMETHOXY) PHENYL) -1-(2-PYRIDINYL) -1H-PYRAZOL-3-YL) PHENYL)
                  -, PHENYLMETHYL ESTER"/CN
               1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO
L14
                  PHENYL) -4 - (3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN
               1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2
L15
                  -CHLOROPHENYL) -4 - (3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C
               1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,
L16
                  N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI
                  DINYL) - "/CN
               4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)
L17
             101 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L12
L18
             105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17
L19
              13 SEA FILE=USPATFULL ABB=ON PLU=ON L19
L22
             565 SEA FILE=USPATFULL ABB=ON PLU=ON SINGH R?/AU
L30
              73 SEA FILE=USPATFULL ABB=ON PLU=ON GOFF D?/AU
L31
              83 SEA FILE-USPATFULL ABB=ON PLU=ON PARTRIDGE J?/AU
5 SEA FILE-USPATFULL ABB=ON PLU=ON L30 AND L31 AND L32
7 SEA FILE-USPATFULL ABB=ON PLU=ON L30 AND (L31 OR L32)
5 SEA FILE-USPATFULL ABB=ON PLU=ON L31 AND L32
L32
L33
L34
L35
          2° SEA FILE USPATEUIL ABBEON PLUEON WL334 OR L34 OR L35 VANDUE 22
```

#### => d que nos L44

```
L1
L2 (
          4356201) SEA FILE=REGISTRY ABB=ON PLU=ON NC5/ESS
               281 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
L3
                    STR
L10
               180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10
L12
                 1 SEA FILE=REGISTRY ABB=ON PLU=ON "CARBAMIC ACID, (3-(5-(3,4-BI
L13
                    S (PHENYLMETHOXY) PHENYL) -1- (2-PYRIDINYL) -1H-PYRAZOL-3-YL) PHENYL)
                    -, PHENYLMETHYL ESTER"/CN
                 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO
L14
                    PHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN
                 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2
L15
                    -CHLOROPHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C
                 1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,
L16
                    N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI
                    DINYL) - "/CN
              4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)
101 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L12
105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17
L17
L18
L19
               13 SEA FILE=USPATFULL ABB=ON PLU=ON L19
565 SEA FILE=USPATFULL ABB=ON PLU=ON SINGH R?/AU
L22
L30
               565 SEA FILE=USPATFULL ABB=ON
                73 SEA FILE=USPATFULL ABB=ON PLU=ON GOFF D?/AU
83 SEA FILE=USPATFULL ABB=ON PLU=ON PARTRIDGE
L31
                                                               PARTRIDGE J?/AU
L32
(LITY 100 OR LITE USPATFULL ABBION PLUEON (LIO OR LITE OR WITE) AND LIZE (author search results that were also structure search regults)
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=> s L33-L35 or L43-L44

# 148 7 (L33 OR L34 OR L35) OR (L43 OR L44)

=> file toxcenter

FILE 'TOXCENTER' ENTERED AT 11:32:13 ON 17 FEB 2006 COPYRIGHT (C) 2006 ACS

FILE COVERS 1907 TO 14 Feb 2006 (20060214/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\_med\_data\_changes.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\_2006\_MeSH.html for a description of changes.

## => d que nos L39

L36	2326 SI	EA FILE=TOXCENTER	ABB=ON PLU=ON	SINGH R?/AU
L37	171 SI	EA FILE=TOXCENTER	ABB=ON PLU=ON	GOFF D?/AU
L38	101 SI	EA FILE=TOXCENTER	ABB=ON PLU=ON	PARTRIDGE J?/AU
L39	1 SI	EA FILE=TOXCENTER	ABB=ON PLU=ON	L36 AND L37 AND L38

#### => d que nos L40

L36	2326	SEA	FILE=TOXCENTER	ABB=ON	PLU=ON	SINGH R?/AU
L37	171	SEA	FILE=TOXCENTER	ABB=ON	PLU=ON	GOFF D?/AU
L38	101	SEA	FILE=TOXCENTER	ABB=ON	PLU=ON	PARTRIDGE J?/AU
L40	2	SEA	FILE=TOXCENTER	ABB≠ON	PLU=ON	L36 AND (L37 OR L38)/

## => d que nos L41

L37	171 SE	A FILE=TOXCENTER A	ABB=ON PLU=ON	GOFF D?/AU
L38	101 SE	A FILE=TOXCENTER A	ABB=ON PLU=ON	PARTRIDGE J?/AU
L41	1 SE	A FILE=TOXCENTER A	ABB=ON PLU=ON	L37 AND L38 /

## => d que nos L42

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L1
                STR
        4356201) SEA FILE=REGISTRY ABB=ON PLU=ON NC5/ESS
L2
            281 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
L3
L10
                STR
            180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10
L12
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "CARBAMIC ACID, (3-(5-(3,4-BI
L13
                S (PHENYLMETHOXY) PHENYL) -1-(2-PYRIDINYL) -1H-PYRAZOL-3-YL) PHENYL)
                -, PHENYLMETHYL ESTER"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO
L14
                PHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2
L15
                -CHLOROPHENYL) -4 - (3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C
```

```
1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,
L16
                N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI
                DINYL) - "/CN
              4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)
L17
            101 SEA FILE=REGISTRY ABB=ON
                                          PLU=ON
                                                  L3 NOT L12
L18
            105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17
L19
L23
              4 SEA FILE=TOXCENTER" ABB=ON
                                           PLU=ON
                                                   T.19
L36
           2326 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   SINGH R?/AU
L37
            171 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   GOFF D?/AU
            101 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   PARTRIDGE J?/AU
L38
                                                   L36 AND L37 AND L38
L39
              1 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
L40
              2 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   L36 AND (L37 OR L38)
              1 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   L37 AND L38
1.41
              1 SEA FILE=TOXCENTER ABB=ON
                                           PLU=ON
                                                   (L39 OR L40 OR L41) AND L23
压42
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#### => d que nos L45

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STR
L1
        4356201) SEA FILE=REGISTRY ABB=ON PLU=ON NC5/ESS
L2 (
            281 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
L3
L10
                STR
            180 SEA FILE=REGISTRY SUB=L3 SSS FUL L10
L12
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "CARBAMIC ACID, (3-(5-(3,4-BI
L13
                S(PHENYLMETHOXY) PHENYL) -1-(2-PYRIDINYL) -1H-PYRAZOL-3-YL) PHENYL)
                -, PHENYLMETHYL ESTER"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZAMIDE, N-(4-(2-(2-CHLORO
L14
                PHENYL) -4-(3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/CN
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEACETAMIDE, N-(4-(2-(2
L15
                -CHLOROPHENYL) -4 - (3-METHYLPHENYL) -5-THIAZOLYL) -2-PYRIDINYL) -"/C
                N
              1 SEA FILE=REGISTRY ABB=ON PLU=ON "BENZENEPROPANAMIDE,
L16
                N-(4-(2-(2-CHLOROPHENYL)-4-(3-METHYLPHENYL)-5-THIAZOLYL)-2-PYRI
                DINYL) - "/CN
              4 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14 OR L15 OR L16)
L17
            101 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L12
L18
            105 SEA FILE=REGISTRY ABB=ON PLU=ON L18 OR L17
L19
              4 SEA FILE=TOXCENTER ABB=ON PLU=ON L19
L23
                                           PLU=ON
L36
           2326 SEA FILE=TOXCENTER ABB=ON
                                                   SINGH R?/AU
                                           PLU=ON
                                                   GOFF D?/AU
            171 SEA FILE=TOXCENTER ABB=ON
L37
                                           PLU=ON
                                                   PARTRIDGE J?/AU
            101 SEA FILE=TOXCENTER ABB=ON
L38
                                           PLU=ON
                                                    (L36 OR L37 OR L38) AND L23
              1 SEA FILE=TOXCENTER ABB=ON
L45
```

lauthor search results that were also structure

search results)

=> s L39-L42 or L45

# L49 2 (L39 OR L40 OR L41 OR L42) OR L45

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=> => dup rem L47 L48 L49

FILE 'CAPLUS' ENTERED AT 11:33:37 ON 17 FEB 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'USPATFULL' ENTERED AT 11:33:37 ON 17 FEB 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 11:33:37 ON 17 FEB 2006

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COPYRIGHT (C) 2006 ACS
PROCESSING COMPLETED FOR L47
PROCESSING COMPLETED FOR L48
PROCESSING COMPLETED FOR L49
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L50 15 DUP REM L47 L48 L49 (3 DUPLICATES REMOVED)

ANSWERS '1-9' FROM FILE CAPLUS ANSWERS '10-15' FROM FILE USPATFULL

=> d ibib abs hitind hitstr L50 1-9; d ibib abs hitstr L50 10-15

L50 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:430800 CAPLUS

DOCUMENT NUMBER: 140:423667

TITLE: A preparation of rhodanine derivatives, useful as

inhibitors of ubiquitination

INVENTOR(S): Singh, Rajinder; Ramesh, Usha V.; Goff,

Dane; Laidig, Guy; Issakani, Sarkiz D.; Huang,

ADDITORTION NO

חאיים

Jianing; Payan, Donald G.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

KIND

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

שתאת

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

DATENT NO

PATENT NO.																		
	770 0004040055								WO 2002 HG26747									
WO	2004	0439	55		ΑI		2004	0527		WO 21	003-	0536	14/		20031113			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	ΚE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	ΝZ,	OM,	
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw				
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
EP	1597	255			A1		2005	1123	EP 2003-783609					20031113				
	R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	002-	4262	80P		P 2	0021	113	
									1	US 2	003-	5149	51P	٠.	P 2	0031	028	
									1	WO 2	003-1	US36	747		W 2	0031	113	
OTHER SOURCE(S):					MAR	MARPAT 140:423667												

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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB This invention describes rhodanine derivs. of formula I [wherein: A is (hetero)aryl; B is C1-6alkyl or C2-6alkenyl; X is S, O, etc.; Y is S, O, S(O), or SO2, etc.; R1 = H, NH2, C1-6alkyl, or C1-2alkenyl, etc.; R2 = H, halogen, C1-6alkyl, C0-6alkyl-(hetero)aryl, or NO2, etc.; R3 = H, C1-6alkyl, or C2-6alkenyl; or R3 and B together with the carbon atom to which they are attached form an alkenyl or a spirocyclic ring], useful as inhibitors of ubiquitination. The compds. and compns. of the invention

are useful as inhibitors of the biochem. pathways of organisms in which ubiquitination is involved. The invention compds. were screened in MDM2 assay (measuring the attachment of ubiquitin to p53) and APC-11/APC-2 ligase assay (auto-ubiquitination). In particular, the compds. and compns. are useful for treating cell proliferative diseases such as cancers. For instance, rhodanine derivative II was prepared via addition of Et thioglycolate to benzyl isothiocyanate, intramol. heterocyclization of the obtained carboxylate III, and condensation of furan derivative IV with the obtained thiazolone V (example 1).

IC ICM C07D417-06

ICS C07D417-14; A61K031-427; A61P035-00

28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS 22 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

2004:182875 CAPLUS

DOCUMENT NUMBER:

140:235699

TITLE:

Preparation of pyridyl substituted heterocycles useful

for treating or preventing HCV infection

INVENTOR (S):

Singh, Rajinder; Goff, Dane;

Partridge, John

PATENT ASSIGNEE(S):

Rigel Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 158 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

									APPLICATION NO.										
	WO 2004018463								WO 2003-US26478										
	WO	2004																	
		W:						AU,											
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
								RU,											
								US,											
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
								TM,											
								ΙE,											
								CM,											
	CA	2494							CA 2003-2494164										
	US	2004	1274	97		A1 20040701			US 2003-646348					20030822					
		1530									EP 2003-793349								
		R:						ES,											
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	BR	2003	0137	55	,	_ , A	,	2005	0621	,	BR 2	003-	1375	5	•	2	0030	822	
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OTHER SOURCE(S):

MARPAT 140:235699

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AΒ The present invention relates to the preparation of pyridyl substituted heterocycles I and II [B ring is aromatic or nonarom. ring that includes 1-4 heteroatoms, wherein X, Y, and Z independently = C, CH, N, substituted N, S, or O, provided that X and Y are not both O; U and T independently = C, CH, or N; Z = N or CH; A = N or CR2; B = N or CR3; D = N or CR4; E = N or CR5; G = N or CR6; J = N or CR14; K = N or CR8; L = N or CR9; M = N or CR10; R2 and R6 = H, halo, (un) substituted-alkyl, -alkoxy, -carbamoyl, etc.; R3 and R5 = H, halo, (un) substituted-alkyl, -alkylthio, -carbamoyl, etc.; R4 = H, halo, (un) substituted-alkyl, -alkylthio, -alkoxy, -dialkylamino, etc.; R8, R9, R10, R14 = H or halo; R7 = NR11COR12; R11 = H or alkyl; R12 = substituted alkyl or (un)substituted cycloheteroalkyl; with provisions that (i) at least one of A, B, D, E, G, J, K, L or M = n, (ii) no more than one of A, B, D, E or G = N, and (iii) no more than one of J, K, L or M = N] and hydro isomers thereof and pharmaceutical compns. thereof that inhibit replication and/or proliferation of HCV virus. Thus, e.g., III, was prepared by cyclocondensation of 2-ethynyl-4-(dichloromethylcarboxamido) pyridine (preparation given) with the chlorooxime of 2-fluoro-6-trifluoromethylbenzaldehyde. The inhibitory activity of I was confirmed using an HCV replicon assay with numerous compds. possessing IC50 values of less than 10  $\mu M$ . The present invention also relates to the use of the pyridyl heterocycles and hydro isomers thereof and/or pharmaceutical compns. comprising the compds. to treat or prevent HCV infections.

IC ICM C07D413-14 ICS C07D401-14; C07D417-14; C07D413-04; C07D417-04; C07D401-04; A61K031-4439; A61P031-12

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 63

IT 667931-22-8P 667931-24-0P 667931-28-4P 667931-30-8P 667931-32-0P 667931-34-2P 667931-36-4P 667931-38-6P 667931-40-0P 667931-42-2P 667931-44-4P 667931-46-6P

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667931-48-8P 667931-50-2P 667931-52-4P
     667931-60-4P 667931-62-6P 667931-64-8P
     667931-68-2P 667931-80-8P
     RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
     activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
     (Biological study); PREP (Preparation); USES (Uses)
        (drug candidate; prepare and cytotoxicity of pyridylisoxazoles for
        treatment of hepatitis C virus infections)
     667931-26-2P 667931-56-8P 667931-58-0P
IT
     667931-66-0P 667931-70-6P 667931-72-8P
     667931-74-0P
                    667931-76-2P 667931-78-4P
     667931-82-0P 667931-84-2P 667931-87-5P
     667931-89-7P 667931-91-1P 667931-92-2P
     667931-94-4P 667931-96-6P 667931-98-8P
     667932-00-5P 667932-02-7P 667932-04-9P
     667932-06-1P 667932-08-3P 667932-10-7P
     667932-12-9P 667932-14-1P 667932-16-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; prepare and cytotoxicity of pyridylisoxazoles for
        treatment of hepatitis C virus infections)
     667931-22-8P 667931-24-0P 667931-28-4P
TT
     667931-30-8P 667931-32-0P 667931-34-2P
     667931-36-4P 667931-38-6P 667931-40-0P
     667931-42-2P 667931-44-4P 667931-46-6P
     667931-48-8P 667931-50-2P 667931-52-4P
     667931-60-4P 667931-62-6P 667931-64-8P
     667931-68-2P 667931-80-8P
     RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
     activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
     (Biological study); PREP (Preparation); USES (Uses)
        (drug candidate; prepare and cytotoxicity of pyridylisoxazoles for
        treatment of hepatitis C virus infections)
     667931-22-8 CAPLUS
RN
     Acetamide, 2,2-dichloro-N-[2-[3-(2-chloro-6-fluorophenyl)-5-isoxazolyl]-4-
CN
     pyridinyl] - (9CI) (CA INDEX NAME)
```

RN 667931-24-0 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-28-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-30-8 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-32-0 CAPLUS
CN Acetamide, 2,2-dichloro-N-[3-[3-(3,5-dichloro-4-pyridinyl)-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667931-34-2 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2-chloro-6-fluorophenyl)-5-isoxazolyl]-3pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-36-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2-fluoro-6-methoxyphenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-38-6 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dimethylphenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-40-0 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-dimethylphenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-42-2 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-difluorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-44-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,3-dichlorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-46-6 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-[2-(4-morpholinyl)-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-48-8 CAPLUS
CN Acetamide, 2,2-dichloro-N-[3-[3-(3-methyl-2-pyridinyl)-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667931-50-2 CAPLUS
CN Acetamide, 2,2-dichloro-N-[6-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-52-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-60-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(4-morpholinylsulfonyl)phenyl]5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-62-6 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-[2-methoxy-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-64-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 667931-68-2 CAPLUS

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-methoxy-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-80-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

IT 667931-26-2P 667931-56-8P 667931-58-0P 667931-66-0P 667931-70-6P 667931-72-8P 667931-74-0P 667931-78-4P 667931-82-0P 667931-84-2P 667931-87-5P 667931-89-7P 667931-91-1P 667931-92-2P 667931-94-4P 667931-96-6P 667931-98-8P 667932-00-5P 667932-02-7P 667932-04-9P 667932-06-1P 667932-08-3P 667932-10-7P 667932-12-9P 667932-14-1P 667932-16-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepare and cytotoxicity of pyridylisoxazoles for treatment of hepatitis C virus infections) 667931-26-2 CAPLUS RN CN Acetamide, 2,2-dichloro-N-[2-[3-(2-fluoro-6-methoxyphenyl)-5-isoxazolyl]-4pyridinyl] - (9CI) (CA INDEX NAME)

RN 667931-56-8 CAPLUS
CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-1-oxido-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-58-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[5-[3-[(dichloroacetyl)amino]phenyl]-3-isoxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 667931-66-0 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-chloro-6-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-70-6 CAPLUS

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-[4-(2-pyridinyl)-1-piperazinyl]-6-

(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-72-8 CAPLUS

CN Acetamide, N-[5-[3-[2-(4-acetyl-1-piperazinyl)-6-chlorophenyl]-5-isoxazolyl]-3-pyridinyl]-2,2-dichloro- (9CI) (CA INDEX NAME)

RN 667931-74-0 CAPLUS

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-(4-ethyl-1-piperazinyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-78-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-82-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-chloro-2-[5-[5-[(dichloroacetyl)amino]-3-pyridinyl]-3-isoxazolyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 667931-84-2 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-(1-piperazinyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-87-5 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-89-7 CAPLUS
CN Acetamide, 2,2-dichloro-N-[5-[3-(2-chloro-6-hydroxyphenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-91-1 CAPLUS
CN Carbamic acid, ethyl-, 3-chloro-2-[5-[5-[(dichloroacetyl)amino]-3-pyridinyl]-3-isoxazolyl]phenyl ester (9CI) (CA INDEX NAME)

RN 667931-92-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[3-chloro-2-[5-[5-[(dichloroacety1)amino]-3-pyridiny1]-3-isoxazoly1]pheny1]-N-ethyl- (9CI) (CA INDEX NAME)

RN 667931-96-6 CAPLUS
CN Carbamic acid, propyl-, 3-chloro-2-[5-[4-[(dichloroacetyl)amino]-2-pyridinyl]-3-isoxazolyl]phenyl ester (9CI) (CA INDEX NAME)

RN 667931-98-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-chloro-6-(methoxymethoxy)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667932-00-5 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2-chloro-6-hydroxyphenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667932-02-7 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-04-9 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[3-(2,4-dichloro-3-pyridinyl)-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-06-1 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-08-3 CAPLUS

CN Acetamide, N-[3-[3-(6-bromo-2-pyridinyl)-5-isoxazolyl]phenyl]-2,2-dichloro-(9CI) (CA INDEX NAME)

RN 667932-10-7 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 667932-12-9 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8

CMF C16 H9 C14 N3 O2

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 667932-14-1 CAPLUS

CN Ethanesulfonic acid, compd. with 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]acetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8 CMF C16 H9 C14 N3 O2

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 667932-16-3 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8 CMF C16 H9 C14 N3 O2

CM 2

CRN 7697-37-2 CMF H N O3

L50 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:589255 CAPLUS

DOCUMENT NUMBER: 141:140418

TITLE: Preparation of diphenylazoles for treatment of

hepatitis C infection

INVENTOR(S): Singh, Rajinder; Goff, Dane;

Partridge, John

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 92 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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    US 2004142985
                               20040722
                                           US 2003-440349
                         A1
                                                                  20030515
    WO 2004103366
                         A1
                               20041202
                                           WO 2004-US14520
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
                                           US 2003-440349
PRIORITY APPLN. INFO.:
                                                             A 20030515
OTHER SOURCE(S):
                        MARPAT 141:140418
GI
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AB Title compds. [I; X, Y, Z = C, CH, N, NR16, NR18, S, O; U, T = C, CH, N;
R2-R6, R8-R10, R13 = H, OH, SH, cyano, NO2, N3, F, Cl, Br, iodo,
(substituted) alkyl, heteroalkyl, cycloalkyl, haloalkyl, alkoxy, aryl,
aryloxy, PhO, aralkyl, etc.; R11 = H, alkyl; R12 = mono- or dihalomethyl;
R16, R18 = H, (substituted) alkyl, heteroalkyl, cycloheteroalkyl,
aralkoxy,aryl, aryloxy, PhO, carbamoyl, etc.; with provisos], were prepared
Thus, 3-(3-aminophenyl)-5-(2,6-dichlorophenyl)isoxazole (preparation given) in
THF was treated with Et3N and then with dichloroacetyl chloride under ice
cooling to give 2,2-dichloro-N-[3-[5-(2,6-dichlorophenyl)-3isoxazolyl]phenyl]acetamide. Some I inhibited HCV replication with IC50
values in the nanomolar range.

I

IC ICM A61K031-4245

ICS A61K031-4196; C07D271-12; C07D249-14 INCL 514364000; 514383000; 548131000; 548264800

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

L50 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1126672 CAPLUS

DOCUMENT NUMBER: 143:405897

TITLE: Heterocyclic anti-viral compounds comprising

metabolizable moieties and their uses as inhibitors of hepatitis C virus replication and/or proliferation for

treatment of hepatitis C infection

INVENTOR(S): Singh, Rajinder; Goff, Dane;

Kolluri, Rao S. S.; Darwish, Ihab S.; Partridge, John; Cooper, Robin; Lu, Henry H.; Park, Gary

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

Searched by John DiNatale 571-272-2557

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	KIN	D :	DATE		1	APPL	ICAT	ION		DATE								
	WO 2005097760					A1 20051020					005-1	US99		20050325					
	W :	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	B₩,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,		
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,		
		•			TD,														
US 2005239751					A1		2005	1027	1	US 2	005-	9082	3		20050325				
PRIORITY APPLN. INFO.:									1	US 2004-556625P					P 20040326				
									1	US 2	004-	5829	03P	]	2 (	0040	524		
		-					_												

OTHER SOURCE(S): MARPAT 143:405897

AB The invention is related to substituted diphenyl-, diheteroaryl- and mixed Ph heteroaryl substituted 5-membered heterocycle compds. of formula A-B-D-(CO)n-N(R11)-CO-CX2-R12 (I) [A = substituted Ph, 6-membered heteroaryl, provided that at least one of the substituents is located in the ortho position; B = (un)saturated, aromatic heteroat. ring having from 1 to 3

annular heteroatoms, with proviso; D = Ph, heteroaryl with provisos; n = 0-1; R11 = H, lower alkyl, aryloxy, 5-substituted 2-oxo-1,3-dioxol-4-yl, etc.; R12 = H, OCO-alkyl, aryloxycarbonyl, alkylcarbonyl, PO3H2 and derivs., etc.; X = H, halo, provided both X are not H; including pharmaceutically acceptable salts, hydrates, solvates, and N-oxides], prodrugs and compns. thereof useful for treating or preventing Hepatitis C virus (HCV) infections. The invention is particularly related to di-Ph and Ph pyridinyl isoxazoles of formula I. For example, a 3-step synthesis, starting from 3-ethynylaniline and 2,2-dichloro-2-(diethoxyphosphonyl) acetyl chloride (preparation given), was given for 2,2-Dichloro-2-(dihydroxyphosphonyl)-N-[3-[3-(2,6-dichlorophenyl)-5isoxazolyl]phenyl]acetamide. The invention is also related to the use of compds. and compns. to inhibit HCV replication and/or proliferation and to treat or prevent HCV infections in humans and animals. Selected I inhibited HCV translation or replication with IC50's < 10  $\mu$ M in a replicon and/or Western blot assay.

- IC ICM C07D261-08
  - ICS C07D413-04; A61K031-4439; A61P031-12
- CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 63
- IT 867215-83-6P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5isoxazolyl]-4-pyridyl]-N-[(5-tert-butyl-2-oxo-1,3-dioxol-4yl)methyl]acetamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted heterocyclic prodrugs for treating HCV infection)

IT 867215-36-9P 867215-95-0P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-

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(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoat
        867216-30-6P, tert-Butyl 2-[3-[[2-[3-(2,6-Dichlorophenyl)isoxazol-5-
    yl]pyridin-4-yl]amino]propanoyl]pyrrolidine-1-carboxylate
    tert-Butyl 4-[3-Chloro-2-[5-[3-[2,2-dichloro-N-[(5-isopropyl-2-oxo-1,3-
    dioxol-4-yl)methyl]acetamido]phenyl]isoxazol-3-yl]phenoxy]piperidine-1-
    carboxylate 867216-46-4P, Di-tert-butyl [[4-[3-[2,2-Dichloro-N-
     [2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
    yl]acetamido]propyl]phenyl]methyl]phosphonate
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; preparation of substituted heterocyclic prodrugs for
        treating HCV infection)
                    867215-38-1P, 2,2-Dichloro-2-(dihydroxyphosphonyl)-
ΙT
    667931-30-8P
    N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]acetamide
    2-Chloro-2-(diethoxyphosphonyl)-N-[3-[3-(2,6-dichlorophenyl)-5-
    isoxazolyl]phenyl]acetamide 867215-40-5P, 2-Chloro-2-
     (diethoxyphosphonyl) -2-fluoro-N-[3-[3-(2,6-dichlorophenyl) -5-
                                  867215-41-6P, 2-(Diethoxyphosphonyl)-2,2-
     isoxazolyl]phenyl]acetamide
    difluoro-N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]acetamide
     867215-42-7P, 2,2-Dichloro-2-(diisopropoxyphosphonyl)-N-[3-[3-(2,6-
    dichlorophenyl) -5-isoxazolyl]phenyl]acetamide
                                                   867215-43-8P,
     2,2-Dichloro-2-(diethoxyphosphonyl)-N-[3-[3-(2-trifluoromethylphenyl)-5-
                                 867215-44-9P, 2,2-Dichloro-2-
     isoxazolyl]phenyl]acetamide
     (diethoxyphosphonyl) -N-[3-[3-(2-fluoro-6-trifluoromethylphenyl) -5-
     isoxazolyl]phenyl]acetamide 867215-45-0P, 2,2-Dichloro-2-(tert-
    butoxycarbonyl) -N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]acetamide
     867215-48-3P, 2,2-Dichloro-2-(isopropoxycarbonyl)-N-[3-[3-(2,6-
    dichlorophenyl)-5-isoxazolyl]phenyl]acetamide
                                                   867215-51-8P,
    2,2-Dichloro-2-[[[(1S)-ethoxycarbonyl-1-(methyl)methyl]oxy]carbonyl]-N-[3-
     [3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]acetamide 867215-52-9P
     867215-53-0P, 2,2-Dichloro-2-[[(1-adamantyl)oxy]carbonyl]-N-[3-[3-(2,6-
    dichlorophenyl) -5-isoxazolyl]phenyl]acetamide
                                                    867215-54-1P,
    2,2-Dichloro-2-((1R,2S,5R)-menthyloxycarbonyl)-N-[3-[3-(2,6-
    dichlorophenyl)-5-isoxazolyl]phenyl]acetamide 867215-55-2P,
    2,2-Dichloro-2-(sec-butoxycarbonyl)-N-[3-[3-(2,6-dichlorophenyl)-5-
     isoxazolyl]phenyl]acetamide 867215-56-3P, 2,2-Dichloro-2-
     (cyclohexyloxycarbonyl) -N-[3-[3-(2,6-dichlorophenyl)-5-
     isoxazolyl]phenyl]acetamide
                                 867215-57-4P, 2,2-Dichloro-2-
     (neopentyloxycarbonyl) -N-[3-[3-(2,6-dichlorophenyl)-5-
     isoxazolyl]phenyl]acetamide 867215-58-5P, 2,2-Dichloro-2-
     (benzyloxycarbonyl) -N-[3-[3-(2,6-dichlorophenyl)-5-
     isoxazolyl]phenyl]acetamide 867215-59-6P, 2,2-Dichloro-2-
     (methoxycarbonyl) -N-[2-[3-(2,6-dichlorophenyl)-5-
     isoxazolyl]phenyl]acetamide 867215-60-9P, 2,2-Dichloro-2-(tert-
    butoxycarbonyl) -N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-
    pyridyl]acetamide 867215-61-0P, 2,2-Dichloro-2-(tert-butoxycarbonyl)-N-
     [3-[3-(2-chloro-6-methoxyphenyl)-5-isoxazolyl]phenyl]acetamide
     867215-62-1P, 2,2-Dichloro-2-(methoxycarbonyl)-N-[3-[3-(2-cyclopropyl-6-
     trifluoromethylphenyl)-5-isoxazolyl]phenyl]acetamide 867215-63-2P,
    2,2-Dichloro-2-(methoxycarbonyl)-N-[3-[3-(2-chloro-6-methoxyphenyl)-5-
     isoxazolyl]phenyl]acetamide 867215-64-3P, 2,2-Dichloro-2-
     (methoxycarbonyl) -N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-
     isoxazolyl]phenyl]acetamide
                                 867215-65-4P, 2,2-Dichloro-2-
     (methoxycarbonyl) -N-[3-[3-(2-trifluoromethylphenyl) -5-
     isoxazolyl]phenyl]acetamide 867215-66-5P, 2,2-Dichloro-2-
     (methoxycarbonyl) -N-[3-[3-(2-fluoro-6-trifluoromethylphenyl) -5-
     isoxazolyl]phenyl]acetamide 867215-67-6P, 2,2-Dichloro-N-[3-[3-(2,6-
    dichlorophenyl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-
                         867215-69-8P, 2,2-Dichloro-N-[3-[3-(2,6-
    yl)methyl]acetamide
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dichlorophenyl)isoxazol-5-yl]phenyl]-N-[(5-tert-butyl-2-oxo-1,3-dioxol-4-
                     867215-71-2P, 2,2-Dichloro-N-[3-[3-(2-chloro-6-
yl)methyl]acetamide
methoxyphenyl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867215-72-3P, 2,2-Dichloro-N-[3-[3-(2,4-
dichloropyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867215-73-4P, 2,2-Dichloro-N-[3-[3-(4-chloro-
2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-
dioxol-4-yl) methyl] acetamide
                              867215-74-5P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867215-75-6P, 2,2-Dichloro-N-[3-[3-(4-chloro-
2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-isopropyl-2-oxo-
1,3-dioxol-4-yl)methyl]acetamide
                                  867215-76-7P, 2,2-Dichloro-N-[3-[3-(2-
chloro-6-tert-butoxycarbonylphenyl)-5-isoxazolyl]phenyl]-N-[(5-isopropyl-2-
oxo-1,3-dioxol-4-yl)methyl]acetamide
                                      867215-77-8P, 2,2-Dichloro-N-[3-[3-
(2-chloro-6-methoxyphenyl)-5-isoxazolyl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-
                               867215-78-9P, 2,2-Dichloro-N-[3-[3-(2,6-
dioxol-4-yl) methyl] acetamide
dichlorophenyl)-5-isoxazolyl]phenyl]-N-[(5-propyl-2-oxo-1,3-dioxol-4-
                     867215-79-0P, 2,2-Dichloro-N-[3-[3-(2,6-
yl)methyl]acetamide
dichlorophenyl) -5-isoxazolyl]phenyl] -N-[(5-cyclohexyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide
                     867215-80-3P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]phenyl]-N-[(5-ethyl-2-oxo-1,3-dioxol-4-
y1) methyl] acetamide 867215-81-4P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-
y1) methyl]acetamide 867215-82-5P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-
4-yl)methyl]acetamide 867215-84-7P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl) -5-isoxazolyl] -4-pyridyl] -N-[(5-pentyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide
                     867215-85-8P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]phenyl]-N-{(5-ethoxycarbonyl-2-oxo-1,3-dioxol-
4-yl) methyl] acetamide
                       867215-86-9P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl) isoxazol-5-yl] phenyl] -N-[2-(phenylsulfonyl) ethyl] acetamide
867215-89-2P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]-N-[3-oxo-3-(pyridin-3-yl)propyl]acetamide
867215-92-7P, 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoic Acid
867215-96-1P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]-N-[3-(morpholino)-3-oxopropyl]acetamide
                                                           867216-00-0P,
Ethyl 2-[4-[3-[2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]phenyl]acetamido]propanoyl]phenyl]acetate 867216-01-1P,
N-(4-Amino-3-oxo-5-phenylpentyl)-2,2-dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamide 867216-02-2P
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-
[3-oxo-3-(piperidin-4-yl)propyl]acetamide 867216-03-3P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-
oxo-3-(pyrrolidin-2-yl)propyl]acetamide 867216-04-4P, tert-Butyl
3-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]piperidine-1-carboxylate 867216-06-6P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-
oxo-3-(piperidin-3-yl)propyl]acetamide 867216-07-7P, tert-Butyl
4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]phenyl]piperazine-1-carboxylate
867216-08-8P, 4-[[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]methyl]-2,6-
dimethylphenyl propylcarbamate 867216-11-3P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]phenyl]-N'-methylmalonamide
                                                          867216-15-7P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-yl]phenyl]-N-[[2-oxo-5-
(pyrrolidin-2-yl)-1,3-dioxol-4-yl]methyl]acetamide 867216-16-8P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-yl]phenyl]-N-[[2-oxo-5-
(pyrrolidin-2-yl)-1,3-dioxol-4-yl]methyl]acetamide monotrifluoroacetate
867216-32-8P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
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yl]pyridin-4-yl]-N-[[2-(pyridin-2-yl)ethoxy]methyl]acetamide
867216-34-0P, 2,2-Dichloro-N-[3-[3-[2-chloro-6-(piperidin-4-
yloxy)phenyl]isoxazol-5-yl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-
yl)methyllacetamide
                      867216-36-2P, 2,2-Dichloro-N-(3-ethynylphenyl)-N-[(5-
isopropyl-2-oxo-1,3-dioxol-4-yl) methyl] acetamide 867216-40-8P,
2,2-Dichloro-N-[2-[3-(2,6-Dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[(1-
methyl-1H-imidazol-2-yl) methyl] acetamide 867216-42-0P,
[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]benzyl]phosphonic Acid
                                             867216-47-5P
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-yl]phenyl]-N'-(3-
morpholinopropyl) malonamide 867216-53-3P, 2,2-Dichloro-N-[3-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]phenyl]-N'-[(pyridin-2-yl)methyl]malonamide
867216-54-4P, 2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]phenyl]-N'-(2-hydroxyethyl)malonamide 867216-55-5P, Propyl
[4-[[2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]phenyl]acetamido]methyl]phenyl]carbamate
                                             867216-56-6P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-yl]phenyl]-N-[[2-oxo-5-
(piperidin-3-yl)-1,3-dioxol-4-yl]methyl]acetamide 867216-57-7P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)isoxazol-5-yl]phenyl]-N-[(5-
neopentyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867216-58-8P,
2,2-Dichloro-N-[(5-cyclobutyl-2-oxo-1,3-dioxol-4-yl)methyl]-N-[3-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]phenyl]acetamide 867216-59-9P, Isopropyl
2,2-Dichloro-3-[[3-[3-(2-chloro-6-methoxyphenyl)isoxazol-5-
yl]phenyl]amino]-3-oxopropanoate 867216-60-2P, tert-Butyl
4-[[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]methyl]benzoate 867216-61-3P, 2,2-Dichloro-N-[2-[3-
(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[4-(2-
morpholinoethoxy) benzyl]acetamide 867216-62-4P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[4-
(4-ethylpiperazin-1-yl)benzyl]acetamide
                                         867216-63-5P,
N-[(5-Benzyl-2-oxo-1,3-dioxol-4-yl)methyl]-2,2-dichloro-N-[3-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]phenyl]acetamide 867216-64-6P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-(2-
morpholinoethyl)acetamide 867216-65-7P, 3-Chloro-2-[5-[3-[2,2-dichloro-N-
[(5-isopropyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamido]phenyl]isoxazol-3-
yl]benzoic Acid 867216-66-8P, 2,2-Dichloro-N-[3-[3-[2-cyclopropyl-6-
(trifluoromethyl)phenyl]isoxazol-5-yl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-
dioxol-4-yl)methyl]acetamide 867216-67-9P, 2,2-Dichloro-N-[(5-isopropyl-
2-oxo-1,3-dioxol-4-yl)methyl]-N-[3-[3-[2-methoxy-6-
(trifluoromethyl)phenyl]isoxazol-5-yl]phenyl]acetamide
                                                         867216-68-0P,
Methyl 3-Chloro-2-[5-[3-[2,2-dichloro-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-
y1)methyl]acetamido]phenyl]isoxazol-3-yl]benzoate 867216-69-1P,
N-[3-[3-[2-(1-Acetylpiperidin-4-yloxy)-6-chlorophenyl]isoxazol-5-
yl]phenyl]-2,2-dichloro-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867216-70-4P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[(5-neopentyl-2-oxo-1,3-
dioxol-4-yl)methyl]acetamide 867216-71-5P, 4-[3-[2,2-Dichloro-N-
[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]phenyl diethyl phosphate 867216-72-6P,
tert-Butyl 4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]acetamido]propyl]phenyl]piperazine-1-carboxylate
867216-73-7P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propyl]benzoate
867216-74-8P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]-N-[3-[4-(piperazin-1-yl)phenyl]propyl]acetamide
867216-77-1P, 2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)-5-
isoxazolyl]phenyl]-N-[2-(4-fluorobenzoyl)ethyl]Acetamide
                                                           867216-80-6P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]-N-[2-
(benzoyl)propyl]Acetamide 867216-82-8P 867216-83-9P,
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl]-N-[2-
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867216-84-0P, 2,2-Dichloro-N-[3-[3-(2,6-
(benzoyl) ethyl] Acetamide
dichlorophenyl) -5-isoxazolyl]phenyl] -N-[2-(4-methoxybenzoyl)ethyl]Acetamid
    867216-85-1P, 2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)-5-
isoxazolyl]phenyl]-N-[2-(4-chlorobenzoyl)ethyl]Acetamide
                                                            867216-86-2P.
2,2-Dichloro-N-[3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]pyridin-4-yl]-N-[2-
(4-fluorobenzoyl)ethyl]Acetamide
                                   867216-87-3P, 2,2-Dichloro-N-[3-[3-[2-
chloro-6-(N-acetyl-4-piperidinyloxy)phenyl]-5-isoxazolyl]phenyl]-N-[2-(4-
fluorobenzoyl)ethyl]Acetamide
                                867216-88-4P, 2,2-Dichloro-N-[3-[3-(2-
cyclopropyl-6-trifluoromethylphenyl)-5-isoxazolyl]phenyl]-N-[2-(4-
                                867216-89-5P, 2,2-Dichloro-N-[3-[3-(2-
fluorobenzoyl)ethyl]Acetamide
chloro-6-methoxyphenyl)-5-isoxazolyl]phenyl]-N-[2-(4-
                                867216-90-8P, 2,2-Dichloro-N-[3-[3-(2-
fluorobenzoyl)ethyl]Acetamide
chloro-6-tert-butoxycarbonylphenyl)-5-isoxazolyl]phenyl]-N-[2-(4-
fluorobenzoyl)ethyl]Acetamide
                                867216-91-9P, 2,2-Dichloro-N-[3-[3-(2-
chloro-6-hydroxycarbonylphenyl)-5-isoxazolyl]phenyl]-N-[2-(4-
fluorobenzoyl)ethyl]Acetamide
                                867216-92-0P, 2,2-Dichloro-N-[3-[3-[2-
chloro-6-(methoxycarbonyl)phenyl]-5-isoxazolyl]phenyl]-N-[2-(4-
fluorobenzoyl)ethyl]Acetamide
                                               867216-94-2P
                                867216-93-1P
                                                              867216-95-3P
867216-96-4P
               867216-97-5P
                              867216-98-6P 867217-01-4P
               867217-07-0P 867217-10-5P
867217-04-7P
                                           867217-13-8P
               867217-17-2P 867217-19-4P
867217-15-0P
                                           867217-21-8P
                                             867217-31-0P
               867217-25-2P
                              867217-28-5P
867217-23-0P
               867217-39-8P
                              867217-40-1P 867217-41-2P
867217-34-3P
867217-42-3P 867217-43-4P 867217-44-5P
867217-45-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of substituted heterocyclic prodrugs for
   treating HCV infection)
867215-83-6P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-
isoxazolyl]-4-pyridyl]-N-[(5-tert-butyl-2-oxo-1,3-dioxol-4-
yl) methyl] acetamide
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (drug candidate; preparation of substituted heterocyclic prodrugs for
   treating HCV infection)
867215-83-6 CAPLUS
Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-
pyridinyl] -N-[[5-(1,1-dimethylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]-(9CI)
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(CA INDEX NAME)

IT

RN

CN

IT 867215-95-0P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-

dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoate **867216-46-4P**, Di-tert-butyl [[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propyl]phenyl]methyl]p hosphonate

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of substituted heterocyclic prodrugs for treating HCV infection)

RN 867215-95-0 CAPLUS

CN Benzoic acid, 4-[3-[(dichloroacety1)][2-[3-(2,6-dichloropheny1)-5-isoxazoly1]-4-pyridiny1]amino]-1-oxopropy1]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-46-4 CAPLUS

CN Phosphonic acid, [[4-[3-[(dichloroacetyl) [2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

IT 667931-30-8P 867215-60-9P, 2,2-Dichloro-2-(tert-butoxycarbonyl)-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4pyridyl]acetamide 867215-64-3P, 2,2-Dichloro-2-(methoxycarbonyl)N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5isoxazolyl]phenyl]acetamide 867215-72-3P, 2,2-Dichloro-N-[3-[3-(2,4-dichloropyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-73-4P, 2,2-Dichloro-N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-

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oxo-1,3-dioxol-4-yl) methyl]acetamide 867215-75-6P,
2,2-Dichloro-N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-
isoxazolyl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide
867215-81-4P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-
isoxazolyl]-4-pyridyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide
867215-82-5P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-
isoxazolyl]-4-pyridyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867215-84-7P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-pentyl-2-oxo-1,3-dioxol-4-
yl)methyl]acetamide 867215-89-2P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(pyridin-3-
yl)propyl]acetamide 867215-92-7P, 4-[3-[2,2-Dichloro-N-[2-[3-
(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoic
Acid 867215-96-1P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-(morpholino)-3-
oxopropyl]acetamide 867216-01-1P, N-(4-Amino-3-oxo-5-
phenylpentyl) -2, 2-dichloro-N-[2-[3-(2,6-dichlorophenyl) isoxazol-5-
yl]pyridin-4-yl]acetamide 867216-02-2P, 2,2-Dichloro-N-[2-[3-
(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(piperidin-4-
yl)propyl]acetamide 867216-03-3P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl) isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(pyrrolidin-2-
yl)propyl]acetamide 867216-04-4P, tert-Butyl
3-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]piperidine-1-carboxylate 867216-06-6P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-
oxo-3-(piperidin-3-yl)propyl]acetamide 867216-07-7P, tert-Butyl
4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]phenyl]piperazine-1-carboxylate
867216-08-8P, 4-[[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]methyl]-2,6-
dimethylphenyl propylcarbamate 867216-32-8P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[[2-
(pyridin-2-yl)ethoxy]methyl]acetamide 867216-40-8P,
2,2-Dichloro-N-[2-[3-(2,6-Dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[(1-
methyl-1H-imidazol-2-yl)methyl]acetamide 867216-42-0P,
[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]benzyl]phosphonic Acid 867216-60-2P,
tert-Butyl 4-[[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]acetamido]methyl]benzoate 867216-61-3P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[4-
(2-morpholinoethoxy) benzyl] acetamide 867216-62-4P,
2.2-Dichloro-N-[2-[3-(2.6-dichlorophenyl)isoxazol-5-yl]pyridin-<math>4-yl]-N-[4-
(4-ethylpiperazin-1-yl)benzyl]acetamide 867216-64-6P,
2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-(2-
morpholinoethyl) acetamide 867216-70-4P, 2,2-Dichloro-N-[2-[3-
(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[(5-neopentyl-2-oxo-1,3-
dioxol-4-yl)methyl]acetamide 867216-71-5P, 4-[3-[2,2-Dichloro-N-
[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]phenyl diethyl phosphate 867216-72-6P,
tert-Butyl 4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]acetamido]propyl]phenyl]piperazine-1-carboxylate
867216-73-7P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propyl]benzoate
867216-74-8P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]-N-[3-[4-(piperazin-1-yl)phenyl]propyl]acetamide
867216-82-8P 867217-01-4P 867217-04-7P
867217-10-5P 867217-15-0P 867217-19-4P
867217-23-0P 867217-34-3P 867217-41-2P
867217-42-3P 867217-43-4P 867217-44-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted heterocyclic prodrugs for treating HCV infection)

RN 667931-30-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 867215-60-9 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867215-64-3 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 867215-72-3 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[3-(2,4-dichloro-3-pyridinyl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 867215-73-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 867215-75-6 CAPLUS

CN Acetamide, 2,2-dichloro-N-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]-N-[[5-(1-methylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]-

(9CI) (CA INDEX NAME)

RN 867215-81-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \\ & \text{N} & \\ & \text{C1} & \\ & \text{N} & \\ & \text{C1} & \\ & \text{N} & \\ & \text{C2} & \\ & \text{C} & \text{CHC1}_2 \\ & \text{Me} & \\ & \text{O} & \\ & \text{C} &$$

RN 867215-82-5 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[5-(1-methylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN

867215-84-7 CAPLUS Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-CN pyridinyl]-N-[(2-oxo-5-pentyl-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \\ & \text{N} & \\ & \text{C1} & \\ & \text{C1} & \\ & \text{C1} & \\ & \text{C1} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C3} & \\ & \text{C4} & \\ & \text{C2} & \\ & \text{C4} & \\ & \text{C4} & \\ & \text{C2} & \\ & \text{C4} &$$

RN 867215-89-2 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4pyridinyl]-N-[3-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867215-92-7 CAPLUS

Benzoic acid, 4-[3-[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-CN isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

RN 867215-96-1 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-(4-morpholinyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)

RN 867216-01-1 CAPLUS

CN Acetamide, N-(4-amino-3-oxo-5-phenylpentyl)-2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 867216-02-2 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(4-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867216-03-3 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(2-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 867216-04-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[3-[(dichloroacetyl)][2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-06-6 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(3-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867216-07-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[3-[(dichloroacetyl)][2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-08-8 CAPLUS

CN Carbamic acid, propyl-, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867216-32-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[2-(2-pyridinyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 867216-40-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

Me Cl 
$$N$$
  $CH_2-N$   $CH_2-N$   $Cl_2CH-C$   $0$ 

RN 867216-42-0 CAPLUS

CN Phosphonic acid, [[4-[3-[(dichloroacetyl)]2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-60-2 CAPLUS

CN Benzoic acid, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-61-3 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-62-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[4-(4-ethyl-1-piperazinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-64-6 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 867216-70-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[5-(2,2-dimethylpropyl)-2-oxo-1,3-dioxol-4-yl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} \\ & \text{C1} \\ & \text{C1} \\ & \text{C1}_2\text{CH-C} \\ & \text{C} \\ & \text{CH}_2\text{-CMe}_3 \end{array}$$

RN 867216-71-5 CAPLUS

CN Phosphoric acid, 4-[3-[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl diethyl ester (9CI) (CA INDEX NAME)

RN 867216-72-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[3-[(dichloroacetyl)]2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-73-7 CAPLUS

CN Benzoic acid, 4-[3-[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-74-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-[4-(1-piperazinyl)phenyl]propyl]- (9CI) (CA INDEX NAME)

RN 867216-82-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-(4-fluorophenyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} \\ \text{N} \\ \text{Cl} \\ \text{Cl}_2\text{CH} - \text{Cl} \\ \text{O} \\ \end{array}$$

RN 867217-01-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 867217-04-7 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 867217-10-5 CAPLUS

CN Acetamide, N-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 867217-15-0 CAPLUS

CN Glycine, N,N-dimethyl-, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867217-19-4 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-, 4-

[[(dichloroacety1) [2-[3-(2,6-dichloropheny1)-5-isoxazoly1]-4-pyridiny1]amino]methy1]-2,6-dimethy1pheny1 ester (9CI) (CA INDEX NAME)

RN 867217-23-0 CAPLUS

CN Glycine, N-methyl-, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867217-34-3 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-(4-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 867217-41-2 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

RN 867217-42-3 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 867217-43-4 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867217-44-5 CAPLUS

CN Propanoic acid, 2,2-dichloro-3-[[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-3-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:979648 CAPLUS

DOCUMENT NUMBER: 143:266935

TITLE: Preparation of isoxazolylphenyl oxadiazole derivatives

as inhibitors of hepatitis C virus replication

INVENTOR(S): Goff, Dane; Singh, Rajinder; Li,

Hui

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	KIN	D	DATE			APPL:	ICAT:	DATE								
		-														
WO 2005082898				A1		2005	0909	,	WO 2	005-1	20050223					
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĘ,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2004-547009P P 20040223

MARPAT 143:266935 OTHER SOURCE(S):

GI

Title compds. represented by the formula I [wherein ring B = (aromatic) AΒ heterocyclic ring; X, Y, Z = independently C, CH, (un) substituted N, S or N, provided X and Y are not both O; U, T = independently C, CH or N; R1-R6 = independently H, OH, SH, halo, etc.; n = 0-4; R7 is a leaving group; and pharmaceutically acceptable salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepared as inhibitors of hepatitis C virus (HCV) replication for the treatment of HCV infections. For example, II was given in a multi-step synthesis starting from 2,6-dichlorobenzaldoxime. I were tested for inhibition of HCV replication using a quant. Western blot anal.

Т

II

IC ICM C07D413-10

ICS A61K031-4245; A61P031-00

28-10 (Heterocyclic Compounds (More Than One Hetero Atom)) CC

Section cross-reference(s): 1

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:996145 CAPLUS

DOCUMENT NUMBER: 141:424168

TITLE: Preparation of 1,3-diaryl-substituted five-membered aromatic heterocycles and their di- and tetrahydro derivatives as inhibitors of hepatitis C virus

replication for treatment of hepatitis C infection

Singh, Rajinder; Goff, Dane; Partridge, John J. INVENTOR(S):

Rigel Pharmaceuticals, Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 210 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE					APPL:								
			A2 20041118 A3 20051103															
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
US	2004	2542	27		A1		2004	1216		US 20	004-	8365		20040430				
EP	1620	412			A2		2006	0201		EP 2	004-	7510	16		2	00404	430	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
PRIORIT	PRIORITY APPLN. INFO.:									US 2	003-4	4676	50P	]	P 20	0030!	502	
									,	WO 2	004-1	US134	152	1	W 2	00404	430	
OTHER S	MARPAT 141:424168																	

AB 1,3-Diaryl-substituted five-membered heterocycles I [B ring = aromatic, partially saturated, or fully saturated heterocycle; X, Y, Z = C, CH, N, NR, O, S;

U, T = C, CH, N; U, T are not both C, X and Y are not O; Z = CH when X = Y = N; R = H, (un) substituted alkyl, cycloalkyl, heterocycloalkyl, haloalkyl, alkoxy, alkylthio, monohalomethyl, dihalomethyl, trihalomethyl, etc.; R2, R3, R4, R5, R6, R8, R9, R10, R13 = H, H0, HS, NC, O2N, N3, halo, (un) substituted alkyl, cycloalkyl, cycloheteroalkyl, etc.; R11 = H, alkyl; R12 = monohalomethyl, dihalomethyl] such as II are prepared as replication inhibitors for the hepatitis C virus for treatment of hepatitis C infection. 2,6-Dichlorophenylacetylene and 3-nitro-N-hydroxybenzenecarboximidoyl chloride undergo thermal cycloaddn. to an isoxazole; reduction of the nitro group to an amine and acylation with dichloroacetyl chloride yields II. The title compds. inhibit hepatitis C replication (no data).

IC ICM C07D263-32

ICS C07D271-06; C07D275-02; C07D277-10; A61K031-00

CC 28-1 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1

L50 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:996144 CAPLUS

DOCUMENT NUMBER: 141:410935

TITLE: Preparation of substituted diphenyl isoxazoles,

pyrazoles and oxadiazoles for treating HCV infection

INVENTOR(S):
Singh, Rajinder; Goff, Dane;

Partridge, John

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT 1	KIN	D	DATE			APPL	ICAT:	DATE								
			-							-	·						
	WO 2004	A1		2004	1118	1	WO 2	004-1	US13	492		20040503					
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG													
US 2004266840							2004	1230		US 2	004-	8381	33		2	0040	503
PRIORITY APPLN. INFO.:										US 2	003-	4678	1	P 20030502			
OTHER SOURCE(S):						PAT	141:	4109	35								
GT		. ,															

The present invention relates to substituted di-Ph heterocycle compds. I [X, Y = N, O, provided that X and Y are not both O; Z = N, CH, provided that Z = CH when X and Y are both N; R2-R5, R8-R10, R13 = H, OH, SH, CN, etc.; R11 = H, alkyl; R12 = dihalomethyl; R6 = (un)substituted piperazino, piperidino, pyrrolidino, etc.] and pharmaceutical compns. thereof that inhibit replication of HCV virus. E.g., a 3-step synthesis of 2,2-dichloro-N-{3-[3-(2,6-dichlorophenyl)-5-isoxazolyl]phenyl}acetamide, starting from 2,6-dichlorobenzaldoxime, was given. Exemplary compds. I were tested in HCV replicon assay and/or in Western blot assay (biol. data given). The present invention also relates to the use of the compds. and/or compns. (such as liposome suspension) to inhibit HCV replication and/or proliferation and to treat or prevent HCV infections.

Ι

IC ICM C07D261-08

ICS C07D271-06; A61K031-42; A61K031-4245

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376833 CAPLUS

DOCUMENT NUMBER: 138:368880

TITLE: Preparation of substituted diphenyl heterocycles for

treating HCV infection

INVENTOR(S): Singh, Rajinder; Goff, Dane; Lu,

Henry; Issankani, Sarkiz D.; Sun, Thomas

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		APPLICATION NO.						DATE			
WO	WO 2003040112					_	2003	0515		WO	2002-	US35:		2	0021	101		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	ВВ	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	C, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM	I, ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,	
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR	, GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI	, CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
		NE,	SN,	TD,	TG									,				
CA	CA 2465189						2003	0515		CA	2002-	2465	189		2	0021	101	
US	US 2003165561						2003	0904		US	2002-	2860	17		2	0021	101	
US	6759	538			B2		2004	0706										
BR	2002	0062	66		Α	20031230 BR 2002-6266								20021101				
EP	1451	162			A1		2004	0901		ΕP	2002-	7843	78		2	20021101		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	TR,	BG,	CZ,	EE,	SK			
JP	2005	5116	04		T2		2005	0428		JP	2003-	5421	58		2	0021	101	
NZ	5323	17			Α		2005	1028		NZ	2002-	5323	17		2	0021	101	
US	2004	2361	12		A1		2004	1125		US	2004-	8739	1.4		2	0040	622	
PRIORIT	RIORITY APPLN. INFO.:									US	2001-	3501	07P		P 2	0011	102	
										US	2002-	4054	72P		P 2	0020	823	
										US	2002-	2860	17		A3 2	0021	101	
									WO	2002-	US35	131	1	W 2	0021	101		
OTHER S	OTHER SOURCE(S):						138:	3688	80									

GΙ

AB The title compds. [I; X, Y = N, O, provided that X and Y are not both O; Z = N, CH, provided that Z = CH when X and Y are both N; R2-R6, R8-R10, R13 = H, OH, SH, etc.; R11 = alkyl; R12 = monohalomethyl, dihalomethyl] that inhibit replication of HCV virus, were prepared and formulated. Thus,

Ι

reacting 2,6-dichloro-N-hydroxybenzenecarboximidoyl chloride with 2,2-dichloro-N-(3-ethynylphenyl)acetamide (prepns. given) in the presence of Et3N in THF afforded I [X = N; Y = 0; Z = CH; R2 = C1; R3-R5 = H; R6 =Cl; R8-R11 = H; R12 = CHCl2; R13 = H] which was evaluated for in rats by both s.c. and i.v. administration, and doses as high as 30 mg/kg/day were well tolerated.

IC ICM C07D261-08 ICS A61K031-42

28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:218872 CAPLUS

DOCUMENT NUMBER: 126:293272

TITLE: Developing a general strategy for the solid supported

synthesis of heterocycles: applications to the

generation of molecular diversity and drug discovery

Nuss, John M.; Desai, Manoj C.; Zuckermann, Ronald N.; AUTHOR (S):

Singh, Rajinder; Renhowe, Paul A.; Goff, Dane A.; Chinn, Jason P.; Wang, Liang; Dorr, Hilary; Brown, Edward G.; Subramanian, Sharadha

Chiron Corp., Emeryville, CA, 94608, USA CORPORATE SOURCE:

SOURCE: Pure and Applied Chemistry (1997), 69(3), 447-452

CODEN: PACHAS; ISSN: 0033-4545

Blackwell PUBLISHER:

Journal; General Review DOCUMENT TYPE:

English LANGUAGE:

The development of a general strategy for the generation of mol. diversity in the form of novel, non-amide based heterocyclic structures is described with 19 refs. The generation of diverse peptide and peptidomimetic libraries, the automation of these strategies and computational approaches to diversity generation are also discussed. The main focus of this lecture is the progression of these concepts into a strategy for small mol. library generation, and hence the generation of small mol. therapeutic leads.

28-0 (Heterocyclic Compounds (More Than One Hetero Atom)) CC Section cross-reference(s): 34

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 10 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2005:275188 USPATFULL

TITLE: Heterocyclic anti-viral compounds comprising

metabolizable moieties and their uses

Singh, Rajinder, Belmont, CA, UNITED STATES INVENTOR(S):

Goff, Dane, Redwood City, CA, UNITED STATES Kolluri, Rao S. S., Foster City, CA, UNITED STATES Darwish, Ihab S., San Mateo, CA, UNITED STATES Partridge, John J., Chapel Hill, NC, UNITED

STATES

Cooper, Robin, St. George Island, FL, UNITED STATES

Lu, Henry H., Foster City, CA, UNITED STATES Park, Gary, Moss Beach, CA, UNITED STATES

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., South San Francisco, CA,

UNITED STATES (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2004-556625P 20040326 (60)
US 2004-582903P 20040624 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MCDONNELL BOEHNEN HULBERT & BERGHOFF LLP, 300 S. WACKER

DRIVE, 32ND FLOOR, CHICAGO, IL, 60606, US

NUMBER OF CLAIMS: 54 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 24 Drawing Page(s)

LINE COUNT: 4411

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted prodrug and compositions thereof useful for treating or preventing Hepatitis C virus (HCV) infections. In particular, the present invention relates to prodrugs of substituted diphenyl-, diheteroaryl- and mixed phenyl heteroaryl substituted five-membered heterocycle compounds, compositions comprising the compounds and the use of such compounds and compositions to inhibit HCV replication and/or proliferation as a therapeutic approach towards the treatment and/or prevention of HCV infections in humans and animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 867215-83-6P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-tert-butyl-2-oxo-1,3-dioxol-4-

yl) methyl] acetamide

(drug candidate; preparation of substituted heterocyclic prodrugs for treating HCV infection)

RN 867215-83-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[5-(1,1-dimethylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]-(9CI) (CA INDEX NAME)

IT 867215-95-0P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoate
867216-46-4P, Di-tert-butyl [[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propyl]phenyl]methyl]
phosphonate

(drug candidate; preparation of substituted heterocyclic prodrugs for treating HCV infection)

RN 867215-95-0 USPATFULL

CN Benzoic acid, 4-[3-[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-46-4 USPATFULL

CN Phosphonic acid, [[4-[3-[(dichloroacetyl) [2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

IT 667931-30-8P 867215-60-9P, 2,2-Dichloro-2-(tert-butoxycarbonyl) -N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridyl]acetamide 867215-64-3P, 2,2-Dichloro-2(methoxycarbonyl) -N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]acetamide 867215-72-3P, 2,2-Dichloro-N-[3-[3-(2,4-dichloropyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-73-4P, 2,2-Dichloro-N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-75-6P,
2,2-Dichloro-N-[3-[3-(4-chloro-2-dimethylaminopyridin-3-yl)-5-isoxazolyl]phenyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-81-4P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-82-5P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-yl)methyl]acetamide 867215-82-5P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-isopropyl-2-oxo-1,3-dioxol-4-yl)methyl]aceta

```
4-yl) methyl] acetamide 867215-84-7P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)-5-isoxazolyl]-4-pyridyl]-N-[(5-pentyl-2-oxo-1,3-dioxol-4-
y1) methyl] acetamide 867215-89-2P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(pyridin-3-
y1)propyl]acetamide 867215-92-7P, 4-[3-[2,2-Dichloro-N-[2-[3-
 (2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]propanoyl]benzoi
c Acid 867215-96-1P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl) isoxazol-5-yl]pyridin-4-yl]-N-[3-(morpholino)-3-
oxopropyl]acetamide 867216-01-1P, N-(4-Amino-3-oxo-5-
phenylpentyl) -2, 2-dichloro-N-[2-[3-(2,6-dichlorophenyl) isoxazol-5-
yl]pyridin-4-yl]acetamide 867216-02-2P, 2,2-Dichloro-N-[2-[3-
 (2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(piperidin-4-
yl)propyl]acetamide 867216-03-3P, 2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-oxo-3-(pyrrolidin-2-
yl)propyl]acetamide 867216-04-4P, tert-Butyl
 3-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]piperidine-1-carboxylate 867216-06-6P,
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[3-
oxo-3-(piperidin-3-yl)propyl]acetamide 867216-07-7P, tert-Butyl
 4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propanoyl]phenyl]piperazine-1-carboxylate
 867216-08-8P, 4-[[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]acetamido]methyl]-2,6-
dimethylphenyl propylcarbamate 867216-32-8P,
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-
 [[2-(pyridin-2-yl)ethoxy]methyl]acetamide 867216-40-8P,
 2,2-Dichloro-N-[2-[3-(2,6-Dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-
 [(1-methyl-1H-imidazol-2-yl)methyl]acetamide 867216-42-0P,
 [4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]benzyl]phosphonic Acid 867216-60-2P,
 tert-Butyl 4-[[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]acetamido]methyl]benzoate 867216-61-3P,
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[4-
 (2-morpholinoethoxy) benzyl] acetamide 867216-62-4P,
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[4-
 (4-ethylpiperazin-1-yl)benzyl]acetamide 867216-64-6P,
 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-(2-1)
morpholinoethyl) acetamide 867216-70-4P, 2,2-Dichloro-N-[2-[3-
 (2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-yl]-N-[(5-neopentyl-2-oxo-1,3-
dioxol-4-yl)methyl]acetamide 867216-71-5P, 4-[3-[2,2-Dichloro-N-
 [2-[3-(2,6-dichlorophenyl)isoxazol-5-yl]pyridin-4-
yl]acetamido]propyl]phenyl diethyl phosphate 867216-72-6P,
 tert-Butyl 4-[4-[3-[2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]acetamido]propyl]phenyl]piperazine-1-carboxylate
 867216-73-7P, tert-Butyl 4-[3-[2,2-Dichloro-N-[2-[3-(2,6-
dichlorophenyl) isoxazol-5-yl]pyridin-4-yl]acetamido]propyl]benzoate
 867216-74-8P, 2,2-Dichloro-N-[2-[3-(2,6-dichlorophenyl)isoxazol-5-
yl]pyridin-4-yl]-N-[3-[4-(piperazin-1-yl)phenyl]propyl]acetamide
 867216-82-8P 867217-01-4P 867217-04-7P
 867217-10-5P 867217-15-0P 867217-19-4P
 867217-23-0P 867217-34-3P 867217-41-2P
 867217-42-3P 867217-43-4P 867217-44-5P
   (drug candidate; preparation of substituted heterocyclic prodrugs for
   treating HCV infection)
667931-30-8 USPATFULL
Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-
  pyridinyl] - (9CI) (CA INDEX NAME)
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RN

CN

RN 867215-60-9 USPATFULL

CN Propanoic acid, 2,2-dichloro-3-[[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867215-64-3 USPATFULL

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 867215-72-3 USPATFULL

CN Acetamide, 2,2-dichloro-N-[3-[3-(2,4-dichloro-3-pyridinyl)-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 867215-73-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[3-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 867215-75-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[3-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]-N-[[5-(1-methylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]-(9CI) (CA INDEX NAME)

RN 867215-81-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(5-methyl-2-oxo-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX

NAME)

RN 867215-82-5 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[5-(1-methylethyl)-2-oxo-1,3-dioxol-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 867215-84-7 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(2-oxo-5-pentyl-1,3-dioxol-4-yl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C2} & \\ & \text{C3} & \\ & \text{C4} & \\ & \text{C4} & \\ & \text{C4} & \\ & \text{C5} & \\ & \text{C4} & \\ & \text{C7} & \\ & \text{C7} & \\ & \text{C1} & \\ & \text{C7} & \\ & \text{C7} & \\ & \text{C1} & \\ & \text{C7} & \\ & \text{C7} & \\ & \text{C1} & \\ & \text{C7} & \\ & \text{C7} & \\ & \text{C1} & \\ & \text{C7} &$$

RN 867215-89-2 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867215-92-7 USPATFULL

CN Benzoic acid, 4-[3-[(dichloroacetyl) [2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 867215-96-1 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-(4-morpholinyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 \\
N \\
C \\
CH_2 - CH_2 - N \\
C1_2CH - C \\
C1_2CH - C
\end{array}$$

RN 867216-01-1 USPATFULL

CN Acetamide, N-(4-amino-3-oxo-5-phenylpentyl)-2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 867216-02-2 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(4-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867216-03-3 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(2-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 867216-04-4 USPATFULL

CN 1-Piperidinecarboxylic acid, 3-[3-[(dichloroacetyl)]2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-06-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-oxo-3-(3-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 867216-07-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[4-[3-[(dichloroacetyl)][2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-1-oxopropyl]phenyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-08-8 USPATFULL

CN Carbamic acid, propyl-, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867216-32-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[2-(2-pyridinyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

RN 867216-40-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 867216-42-0 USPATFULL

CN Phosphonic acid, [[4-[3-[(dichloroacetyl) [2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-60-2 USPATFULL

CN Benzoic acid, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-61-3 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-62-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[4-(4-ethyl-1-piperazinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 867216-64-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 867216-70-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[[5-(2,2-dimethylpropyl)-2-oxo-1,3-dioxol-4-yl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} & \\ & \text{Cl} & \\ & \text{Cl} & \\ & \text{Cl}_2\text{CH} - \text{C} \\ & \text{O} & \\ & \text{CH}_2\text{-CMe}_3 & \\ \end{array}$$

RN 867216-71-5 USPATFULL

CN Phosphoric acid, 4-[3-[(dichloroacetyl)][2-[3-(2,6-dichlorophenyl)-5isoxazolyl]-4-pyridinyl]amino]propyl]phenyl diethyl ester (9CI) (CA
INDEX NAME)

RN 867216-72-6 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[4-[3-[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-73-7 USPATFULL

CN Benzoic acid, 4-[3-[(dichloroacetyl) [2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 867216-74-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-[4-(1-piperazinyl)phenyl]propyl]- (9CI) (CA INDEX NAME)

RN 867216-82-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4pyridinyl]-N-[3-(4-fluorophenyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)

RN 867217-01-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 867217-04-7 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 867217-10-5 USPATFULL

CN Acetamide, N-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 867217-15-0 USPATFULL

CN Glycine, N,N-dimethyl-, 4-[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867217-19-4 USPATFULL

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-, 4[[(dichloroacetyl)[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4pyridinyl]amino]methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

RN 867217-23-0 USPATFULL

RN 867217-34-3 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-[3-(4-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 867217-41-2 USPATFULL

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

RN 867217-42-3 USPATFULL

CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholiny1)-3-pyridiny1]-5-isoxazolyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

- RN 867217-43-4 USPATFULL
- CN Propanoic acid, 2,2-dichloro-3-[[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]amino]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 867217-44-5 USPATFULL
- CN Propanoic acid, 2,2-dichloro-3-[[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]amino]-3-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

L50 ANSWER 11 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:335746 USPATFULL

TITLE: Substituted diphenyl isoxazoles, pyrazoles and

oxadiazoles useful for treating HCV infection INVENTOR(S): Singh, Rajinder, Belmont, CA, UNITED STATES

Goff, Dane, Redwood City, CA, UNITED STATES Partridge, John J., Chapel Hill, NC, UNITED

STATES

APPLICATION INFO.: US 2004-838133 A1 20040503 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2003-467811P 20030502 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Scott D. Rothenberger, Esq., DORSEY & WHITNEY LLP,

Intellectual Property Department, 50 South Sixth Street, Suite 1500, Minneapolis, MN, 55402-1498

NUMBER OF CLAIMS: 33 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 22 Drawing Page(s)

LINE COUNT: 4515

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to substituted diphenyl heterocycle compounds and pharmaceutical compositions thereof that inhibit replication of HCV virus. The present invention also relates to the use

of the compounds and/or compositions to inhibit HCV replication and/or

proliferation and to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 12 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:321572 USPATFULL

TITLE: Heterocyclic compounds and hydro isomers thereof

INVENTOR(S): Singh, Rajinder, Belmont, CA, UNITED STATES
Goff, Dane, Redwood City, CA, UNITED STATES

Partridge, John J., Chapel Hill, NC, UNITED

STATES

NUMBER KIND DATE

Davis 10/646348 02/17/2006

PATENT INFORMATION: US 2004254227 A1 20041216

APPLICATION INFO.: US 2004-836561 A1 20040430 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2003-467650P 20030502 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Scott D. Rothenberger, Esq., DORSEY & WHITNEY LLP,

Intellectual Property Department, 50 South Sixth Street, Suite 1500, Minneapolis, MN, 55402-1498

NUMBER OF CLAIMS: 40 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 84 Drawing Page(s)

LINE COUNT: 4269

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted diphenyl heterocycle compounds and pharmaceutical compositions thereof that inhibit replication of HCV virus. The present invention also relates to the use of the compounds and/or compositions to inhibit HCV replication and/or proliferation and to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 13 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:300242 USPATFULL

TITLE: Substituted diphenyl heterocycles useful for treating

HCV infection

INVENTOR(S): Singh, Rajinder, Belmont, CA, UNITED STATES

Goff, Dane, Redwood, CA, UNITED STATES

Lu, Henry, Foster, CA, UNITED STATES

Issakani, Sarkiz D., San Jose, CA, UNITED STATES

Sun, Thomas, Fremont, CA, UNITED STATES

NUMBER KIND DATE

PATENT INFORMATION: US 2004236112 A1 20041125 APPLICATION INFO.: US 2004-873914 A1 20040622 (10)

APPLICATION INFO: US 2004-8/3914 AT 2004-022 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2002-286017, filed on 1 Nov

2002, GRANTED, Pat. No. US 6759538

NUMBER DATE

PRIORITY INFORMATION: US 2001-350107P 20011102 (60)

US 2002-405472P 20020823 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Scott D. Rothenberger, Esq., DORSEY & WHITNEY LLP,

Intellectual Property Department, 50 South Sixth Street, Suite 1500, Minneapolis, MN, 55402-1498

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 16 Drawing Page(s)

LINE COUNT: 2838

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to substituted diphenyl heterocycle compounds and pharmaceutical compositions thereof that inhibit replication of HCV virus. The present invention also relates to the use of the compounds and/or compositions to inhibit HCV replication and/or proliferation and to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 14 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:166007 USPATFULL

TITLE: Pyridyl substituted heterocycles useful for treating or

preventing HCV infection

INVENTOR(S): Singh, Rajinder, Belmont, CA, UNITED STATES

Goff, Dane, Redwood City, CA, UNITED STATES Partridge, John, Chapel Hill, NC, UNITED

STATES

NUMBER DATE

PRIORITY INFORMATION: US 2002-405467P 20020823 (60) US 2002-417837P 20021011 (60) US 2003-471373P 20030515 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Scott D. Rothenberger, DORSEY & WHITNEY LLP,

Intellectual Property Department, 50 South Sixth Street, Suite 1500, Minneapolis, MN, 55402-1498

NUMBER OF CLAIMS: 58 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 84 Drawing Page(s)

LINE COUNT: 2422

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pyridyl substituted heterocycles and hydro isomers thereof and pharmaceutical compositions thereof that inhibit replication and/or proliferation of HCV virus. The present invention also relates to the use of the pyridyl heterocycles and hydro isomers thereof and/or pharmaceutical compositions comprising the compounds to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 667931-22-8P 667931-24-0P 667931-28-4P

667931-30-8P 667931-32-0P 667931-34-2P

667931-36-4P 667931-38-6P 667931-40-0P

667931-42-2P 667931-44-4P 667931-46-6P

667931-48-8P 667931-50-2P 667931-52-4P 667931-60-4P 667931-62-6P 667931-64-8P

667931-68-2P 667931-80-8P

(drug candidate; prepare and cytotoxicity of pyridylisoxazoles for treatment of hepatitis C virus infections)

RN 667931-22-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2-chloro-6-fluorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-24-0 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-28-4 USPATFULL

CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-30-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-34-2 USPATFULL CN Acetamide, 2,2-dichloro-N-[5-[3-(2-chloro-6-fluorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-36-4 USPATFULL CN Acetamide, 2,2-dichloro-N-[5-[3-(2-fluoro-6-methoxyphenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-38-6 USPATFULL CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dimethylphenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-40-0 USPATFULL
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-dimethylphenyl)-5-isoxazolyl]-3pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-42-2 USPATFULL CN Acetamide, 2,2-dichloro-N-[5-[3-(2,6-difluorophenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-44-4 USPATFULL
CN Acetamide, 2,2-dichloro-N-[5-[3-(2,3-dichlorophenyl)-5-isoxazolyl]-3pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-46-6 USPATFULL
CN Acetamide, 2,2-dichloro-N-[2-[3-[2-(4-morpholinyl)-6(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-48-8 USPATFULL
CN Acetamide, 2,2-dichloro-N-[3-[3-(3-methyl-2-pyridinyl)-5isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667931-50-2 USPATFULL CN Acetamide, 2,2-dichloro-N-[6-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-52-4 USPATFULL CN Acetamide, 2,2-dichloro-N-[5-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-60-4 USPATFULL CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(4-morpholinylsulfonyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-62-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-methoxy-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-64-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 667931-68-2 USPATFULL

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-methoxy-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-80-8 USPATFULL
CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

667931-26-2P 667931-56-8P 667931-58-0P 667931-66-0P 667931-70-6P 667931-72-8P 667931-74-0P 667931-78-4P 667931-82-0P 667931-84-2P 667931-87-5P 667931-89-7P 667931-91-1P 667931-92-2P 667931-94-4P 667931-96-6P 667931-98-8P 667932-00-5P 667932-02-7P 667932-04-9P 667932-06-1P 667932-08-3P 667932-10-7P 667932-12-9P 667932-14-1P 667932-16-3P (drug candidate; prepare and cytotoxicity of pyridylisoxazoles for treatment of hepatitis C virus infections) 667931-26-2 USPATFULL RNAcetamide, 2,2-dichloro-N-[2-[3-(2-fluoro-6-methoxyphenyl)-5-isoxazolyl]-4-CNpyridinyl] - (9CI) (CA INDEX NAME)

RN 667931-56-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-1-oxido-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-58-0 USPATFULL

CN 3-Pyridinecarboxylic acid, 2-[5-[3-[(dichloroacetyl)amino]phenyl]-3-isoxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 667931-66-0 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-chloro-6-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-70-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-[4-(2-pyridinyl)-1-piperazinyl]-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-72-8 USPATFULL

CN Acetamide, N-[5-[3-[2-(4-acetyl-1-piperazinyl)-6-chlorophenyl]-5-isoxazolyl]-3-pyridinyl]-2,2-dichloro- (9CI) (CA INDEX NAME)

RN 667931-74-0 USPATFULL
CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-(4-ethyl-1-piperazinyl)phenyl]5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-78-4 USPATFULL CN Acetamide, 2,2-dichloro-N-[5-[3-[2-(trifluoromethyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-82-0 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-chloro-2-[5-[5-[(dichloroacetyl)amino]-3-pyridinyl]-3-isoxazolyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 667931-84-2 USPATFULL

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-(1-piperazinyl)phenyl]-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-87-5 USPATFULL

CN Acetamide, 2,2-dichloro-N-[5-[3-[2-chloro-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-5-isoxazolyl]-3-pyridinyl]-(9CI) (CA INDEX NAME)

RN 667931-89-7 USPATFULL
CN Acetamide, 2,2-dichloro-N-[5-[3-(2-chloro-6-hydro

CN Acetamide, 2,2-dichloro-N-[5-[3-(2-chloro-6-hydroxyphenyl)-5-isoxazolyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-91-1 USPATFULL

CN Carbamic acid, ethyl-, 3-chloro-2-[5-[5-[(dichloroacetyl)amino]-3-pyridinyl]-3-isoxazolyl]phenyl ester (9CI) (CA INDEX NAME)

RN 667931-92-2 USPATFULL

CN 1-Piperazinecarboxamide, 4-[3-chloro-2-[5-[5-[(dichloroacetyl)amino]-3-pyridinyl]-3-isoxazolyl]phenyl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 667931-96-6 USPATFULL CN Carbamic acid, propyl-, 3-chloro-2-[5-[4-[(dichloroacetyl)amino]-2-pyridinyl]-3-isoxazolyl]phenyl ester (9CI) (CA INDEX NAME)

RN 667931-98-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-chloro-6-(methoxymethoxy)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667932-00-5 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2-chloro-6-hydroxyphenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667932-02-7 USPATFULL

CN Acetamide, 2,2-dichloro-N-[3-[4-chloro-2-(dimethylamino)-3-pyridinyl]-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-04-9 USPATFULL
CN Acetamide, 2,2-dichloro-N-[3-[3-(2,4-dichloro-3-pyridinyl)-5isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-06-1 USPATFULL
CN Acetamide, 2,2-dichloro-N-[3-[3-[2-chloro-4-(4-morpholinyl)-3-pyridinyl]-5-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 667932-08-3 USPATFULL CN Acetamide, N-[3-[3-(6-bromo-2-pyridinyl)-5-isoxazolyl]phenyl]-2,2-dichloro-(9CI) (CA INDEX NAME)

RN 667932-10-7 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 667932-12-9 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8

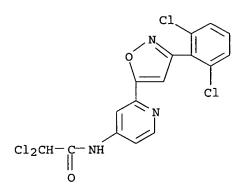
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RN 667932-16-3 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8 CMF C16 H9 Cl4 N3 O2



CM 2

CRN 7697-37-2 CMF H N O3

L50 ANSWER 15 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2003:237404 USPATFULL

TITLE: Substituted diphenyl heterocycles useful for treating

HCV infection

INVENTOR(S): Singh, Rajinder, Belmont, CA, UNITED STATES

Goff, Dane, Redwood, CA, UNITED STATES
Lu, Henry, Foster City, CA, UNITED STATES

Issakani, Sarkiz D., San Jose, CA, UNITED STATES

Sun, Thomas, Fremont, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	S 2003165561	A1	20030904	
U	S 6759538	B2	20040706	
APPLICATION INFO.: U	S 2002-286017	A1	20021101	(10)

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 667932-14-1 USPATFULL

CN Ethanesulfonic acid, compd. with 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]acetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 667931-30-8 CMF C16 H9 C14 N3 O2

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

NUMBER DATE -----

US 2001-350107P 20011102 (60) US 2002-405472P 20020823 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility

APPLICATION FILE SEGMENT:

DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, LEGAL REPRESENTATIVE:

94111

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

37

NUMBER OF DRAWINGS:

16 Drawing Page(s)

LINE COUNT:

2867

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted diphenyl heterocycle compounds and pharmaceutical compositions thereof that inhibit

replication of HCV virus. The present invention also relates to the use of the compounds and/or compositions to inhibit HCV replication and/or

proliferation and to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

STRUCTURE => 0 SEARCH

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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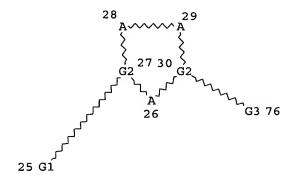
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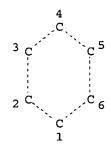
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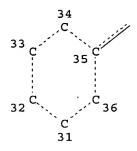
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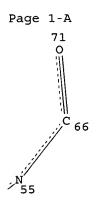
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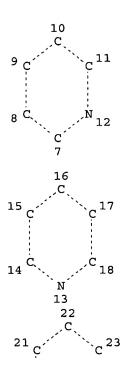


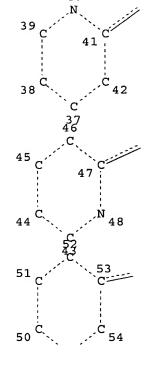


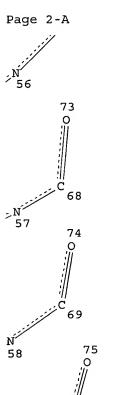




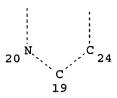
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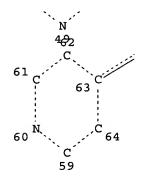






Page 2-B







Page 3-B VAR G1=5/11/17/23 VAR G2=77/78 VAR G3=33/39/45/51/61 NODE ATTRIBUTES: AΤ NSPEC IS R 1 NSPEC IS R AT2 NSPEC IS R AT 3 NSPEC IS R AT4 IS R ATNSPEC IS R AT6 NSPEC IS R AT7 NSPEC IS R AT8 NSPEC NSPEC IS R AT9 IS R AT 10 NSPEC IS R ATNSPEC 11 IS R AT12 NSPEC IS R NSPEC AT13 NSPEC IS R AT14 IS R 15 NSPEC ATNSPEC IS R AT16 NSPEC IS R AT17 IS R 18 NSPEC ATIS R AT19 NSPEC NSPEC IS R AT20 NSPEC IS R AT21 NSPEC IS R AT22 NSPEC IS R AT23 NSPEC IS R AT24 NSPEC IS C ΑT 25 NSPEC IS R ΑT 26 IS R ΑT 27 NSPEC IS R 28 NSPEC ΑT NSPEC IS R AT29 IS R AT30 NSPEC NSPEC IS R AT31 IS R. NSPEC ΑT 32 IS R NSPEC AT33 IS R NSPEC AT34

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DEFAULT ECLEVEL IS LIMITED
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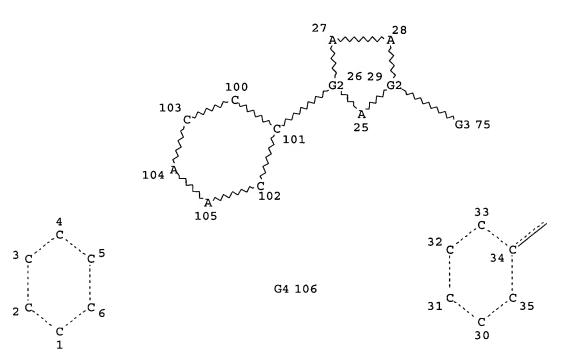
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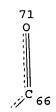
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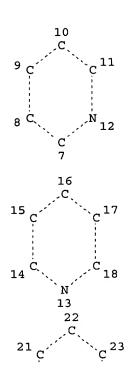
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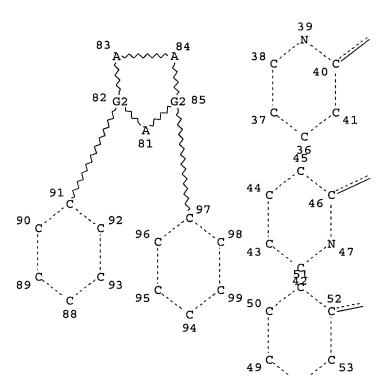


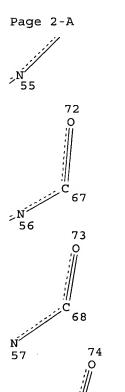
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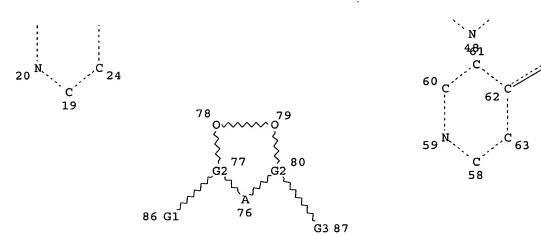
Page 1-B







Page 2-B



Page 3-A

Page 3-B



VAR G1=5/11/17/23 VAR G2=107/108 VAR G3=32/38/44/50/60 VAR G4=27/78/90 NODE ATTRIBUTES: 1 NSPEC IS R ATΑT NSPEC IS R 2 NSPEC IS R AT3 NSPEC IS R ΑT NSPEC IS R AT NSPEC IS R ΑT 6 **NSPEC** IS R ΑT 7 **NSPEC** IS R ΑT **NSPEC** IS R ΑT **NSPEC** IS R AT 10 NSPEC IS R AT 11 NSPEC IS R AT 12 **NSPEC** IS R AT 13 **NSPEC** IS R AT 14 NSPEC IS R AT 15 NSPEC IS R ΑT 16 NSPEC IS R AΤ 17 NSPEC IS R AΤ 18 NSPEC IS R AT 19 NSPEC IS R AΤ 20 NSPEC IS R ΑT 21 NSPEC IS R ΑT 22 NSPEC IS R AT 23 NSPEC IS R AT 24 NSPEC IS R AT 25 **NSPEC** IS R AT 26 NSPEC IS R AT 27 NSPEC IS R ΑT 28 NSPEC IS R ΑT 29 NSPEC IS R ΑT 30

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NUMBER OF NODES IS 108
STEREO ATTRIBUTES: NONE
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# OCC # DOC % DOC LC

TERM #

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FILE USPATFULL ENTERED AT 11:38:48 ON 17 FEB 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Feb 2006 (20060216/PD)
FILE LAST UPDATED: 16 Feb 2006 (20060216/ED)
HIGHEST GRANTED PATENT NUMBER: US7000250
HIGHEST APPLICATION PUBLICATION NUMBER: US2006037120
CA INDEXING IS CURRENT THROUGH 14 Feb 2006 (20060214/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Feb 2006 (20060216/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

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FILE COVERS 1907 TO 14 Feb 2006 (20060214/ED)

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TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the
MeSH 2006 vocabulary.
See http://www.nlm.nih.gov/mesh/
       http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 med data changes.html
       http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html
for a description of changes.
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L54 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER:
                                                 2005:612074 CAPLUS
DOCUMENT NUMBER:
                                                 143:109761
                                                 Compositions and methods for treating hepatitis C
TITLE:
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Searched by John DiNatale 571-272-2557

virus (HCV) infection with haloalkylamide antiviral agents and for protecting the antiviral agent from

hydrolases

INVENTOR(S): Holsztynska, Elzbieta J.; Lo, Ray; Sun, Thomas W.;

Wang, Steven X.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :		KIND DATE				1	APPL	ICAT:		DATE						
						-		<b>-</b>							-		
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WO	2005	0632	25		C1		2005	0825									
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	CN, CO, CR																
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
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		MR,	NE,	SN,	TD,	TG											
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PRIORITY	APP	LN.	. :	US 2003-531543P													
OTHER SO	OTHER SOURCE(S):						MARPAT 143:109761										
GI																	

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AB Provided are compns. and methods for protecting a compound comprising a haloalkylamide moiety from metabolic transformation by hydrolases. In one aspect, the disclosure is directed to increasing the bioavailability and tissue delivery of a anti-HCV compound comprising a haloalkylamide moiety by protecting the compound from inactivation by carboxylesterases. Specific approaches for limiting metabolic transformation include use of carboxylesterase inhibitors to inhibit metabolism of the compound, or use of orally administered compns. designed to deliver the compound to the small intestine or large intestine. Further provided are methods of treating or preventing HCV infection in a subject. Sodium fluoride and bis(p-nitrophenyl)phosphate gave complete inhibition of I degradation by human liver microsomes.

Ι

- IC ICM A61K031-16
- CC 1-5 (Pharmacology)
- IT 286841-27-8 667931-30-8

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as antiviral agent; treatment of hepatitis C virus infection with antiviral haloalkylamide agents and inhibitors for protecting the antiviral agent from inactivation by hydrolases)

IT 667931-30-8

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as antiviral agent; treatment of hepatitis C virus infection with antiviral haloalkylamide agents and inhibitors for protecting the antiviral agent from inactivation by hydrolases)

RN 667931-30-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:14218 CAPLUS

DOCUMENT NUMBER: 142:109454

TITLE: Methods of identifying hepatitis C virus gene NS5B

polymerase inhibitors and their uses

INVENTOR(S): Lu, Henry

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIND DATE				2	APPL	ICAT		DATE					
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WO 2005	0003	8 0		A2		2005	0106	1	WO 2	004-1		20040517					
WO 2005	0003	8 0		A3		2005	0324										
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	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
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EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005009877 A1 20050113 US 2004-847822 20040517 PRIORITY APPLN. INFO.: US 2003-471444P P 20030515 OTHER SOURCE(S): MARPAT 142:109454

Ι

GΙ

$$\begin{array}{c|c}
R^{11} & R^{12} \\
N & C
\end{array}$$

The present invention relates to a variety of screening methods, utilizing AB both biochem. and cellular assays as well as in silico assays, for use in the discovery of agents active in the treating or preventing Hepatitis C virus (HCV) infections. The invention further relates to methods of inhibiting an HCV NS5B polymerase and to the treatment and/or prevention of HCV infections with compds. having specified binding properties. The compds. bind to a region identified as the Rigel pocket, which is defined by the amino acid residues at positions 142, 148, 213, 316, 444, 445, 447, 451, 452, and 465 of NS5B. Four claimed structures are representative of these pocket-binding inhibitors (I; A ring = (substituted)Ph or pyridyl; five-membered B ring is saturated, unsatd., or aromatic; X, Y, Z = NH, N, O, S, except that X and Y are not simultaneously O; the C ring = Ph, pyridyl, R11 = H, alkyl; R12 = ClCH2, Cl2CH). One of these structures is 2,2-dichloro-N-[2-[3-[2-(4-morpholiny1)-6-(trifluoromethy1)pheny1]-5-is oxazolyl]-4-pyridinyl]acetamide (I; A ring = trifluoromethyl and morpholino-substituted Ph; B ring = isoxazole; C ring = pyridine; R11 = H; R12 = Cl2CH). The examples describe a replicon assay that was used to identify compds. A-C and counterscreens to identify resistant replicons and to investigate a mechanism of action for the compds.

IC ICM A61K031-443

ICS A61K031-4439; A61P031-12

CC 7-3 (Enzymes)

Section cross-reference(s): 1, 3, 10, 28

IT 286841-27-8 667931-24-0 667931-30-8

667931-46-6

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(methods of identifying hepatitis C virus gene NS5B polymerase inhibitors and their uses)

IT 667931-24-0 667931-30-8 667931-46-6

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(methods of identifying hepatitis C virus gene NS5B polymerase inhibitors and their uses)

RN 667931-24-0 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-30-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-46-6 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-(4-morpholinyl)-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

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L54 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
ACCESSION NUMBER:
                        2002:504649 CAPLUS
DOCUMENT NUMBER:
                        137:83638
                        Concomitant drugs of p38MAP kinase inhibitors and/or
TITLE:
                         TNF-\alpha production inhibitors with other specified
                         Ohkawa, Shigenori; Naruo, Kenichi; Miwatashi, Seiji
INVENTOR(S):
                         Takeda Chemical Industries, Ltd., Japan
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 278 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                                          APPLICATION NO.
                       KIND DATE
                       A1 20020704 WO 2001-JP11353 20011225
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     WO 2002051442
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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20031022 EP 2001-271876 20011225
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PRIORITY APPLN. INFO.:
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                                            JP 2000-396220
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                                            WO 2001-JP11353
                                                              W 20011225
                        MARPAT 137:83638
OTHER SOURCE(S):
     Drugs comprising a combination of one or more p38MAP kinase inhibitors
     and/or TNF-\alpha production inhibitors with one or more agents selected from
     the group consisting of: (1) nonsteroidal anti-inflammatory agents; (2)
     disease-modification antirheumatics; (3) anti-cytokine drugs; (4)
     immunomodulators; (5) steroidal drugs; and (6) c-JUN N-terminal kinase
     inhibitors. These concomitant drugs are useful as preventives and
     remedies for diseases such as rheumatism and arthritis and other diseases.
     For example, tablets containing [4-(3,5-dimethylphenyl)-5-(2-phenylmethyloxy-4-
     pyridyl)-1,3-thiazol-2-yl]amine 50 mg/tablet are administered with tablets
     containing rofecoxib 5 mg/tablet.
     ICM A61K045-06
IC
     ICS A61K031-4439; A61K031-4545; A61K031-497; A61K031-506; A61K031-5377;
          A61P001-04; A61P001-16; A61P003-10; A61P007-06; A61P009-02;
          A61P009-04; A61P009-10; A61P011-00; A61P011-06; A61P013-12;
          A61P017-04; A61P017-06; A61P019-02; A61P019-10
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     63-6 (Pharmaceuticals)
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
   (combination drugs containing p38MAP kinase inhibitors and/or TNF-\alpha
   production inhibitors with other specified agents)
303162-77-8P 303162-91-6P 303162-92-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
   (combination drugs containing p38MAP kinase inhibitors and/or TNF-\alpha
   production inhibitors with other specified agents)
303162-77-8 CAPLUS
Benzeneacetamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-
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2-pyridinyl] - (9CI) (CA INDEX NAME)

TТ

RN

CN

RN 303162-91-6 CAPLUS

CN Benzamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-92-7 CAPLUS

CN Benzenepropanamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:54669 CAPLUS

DOCUMENT NUMBER:

144:135282

TITLE:

A manufacturing method for solid dispersions of p38

MAP kinase inhibitors

INVENTOR(S):

Omachi, Yoshihiro; Kurasawa, Takashi

PATENT ASSIGNEE(S):

Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
               KIND DATE
                                APPLICATION NO.
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                         20060119 WO 2005-JP13099
                   A2
WO 2006006691
                                                          20050708
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       LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
       NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
       SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
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   RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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       KG, KZ, MD, RU, TJ, TM
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PRIORITY APPLN. INFO.: JP 2004-203799 A 20040709

AB The present invention provides a solid dispersion containing (i) a drug, (ii) polymeric carrier(s), (iii) plasticizer(s), and (iv) drug release-controlling component(s). According to the present invention, the solubility of poorly soluble or insol. drugs, such as p38 MAP kinase inhibitors,

can be improved and a drug release-controlling function can be provided simultaneously in a single manufacturing process, and a solid dispersion having a uniform composition and permitting sustained release of drugs can be provided. Thus, N-[4-[2-ethyl-4-(3-methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]benzamide 4 g was mixed with hydroxypropyl Me cellulose phthalate (HP-55) 6 g, hydroxypropyl Me cellulose (TC-5) 3 g, and polyethylene oxide 7 g, and the mixture was extruded at a barrel temperature of 90° and a screw rotation speed of 80 rpm to give an extruded product of a solid dispersion. The extruded product obtained was cut into a length of about 10 mm to give a pellet sample. The oral absorption of pellet solid dispersion obtained and the comparative film-coated tablet was evaluated in dogs. The solid dispersion showed an AUC that was not much different from that of the comparative film-coated tablet, but a Cmax decreased to about 40%, and a Tmax was prolonged to about 5 h and an MRT to about 3 h, thus exhibiting clear sustained drug release.

- IC ICM A61K009-16
  - ICS A61K031-4439
- CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

303162-60-9 303162-57-4 303162-58-5 303162-59-6 IT 303162-61-0 303162-67-6 303162-62-1 303162-68-7 303162-69-8 303162-70-1 303162-75-6 303162-71-2 303162-72-3 303162-73-4 303162-74-5 303162-76-7 **303162-77-8** 303162-78-9 303162-79-0 303162-81-4 303162-82-5 303162-85-8 303162-80-3 303162-86-9 303162-90-5 303162-91-6 303162-88-1 303162-89-2 303162-87-0 303162-94-9 303162-92-7 303162-93-8 303162-95-0 303162-96-1 303162-97-2 303162-98-3 303162-99-4 303163-00-0 303163-03-3 303163-05-5 303163-01-1 303163-02-2 303163-04-4 303163-07-7 303163-08-8 303163-06-6 303163-09-9 303163-10-2 303163-11-3 303163-12-4 303163-13-5 303163-14-6 303163-15-7 303163-16-8 303163-17-9 303163-20-4 303163-18-0 303163-19-1 303163-21-5 303163-22-6 303163-23-7 303163-25-9 303163-24-8

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     RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (solid dispersions for sustained release of p38 MAP kinase inhibitors)
     303162-77-8 303162-91-6 303162-92-7
IT
     RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (solid dispersions for sustained release of p38 MAP kinase inhibitors)
     303162-77-8 CAPLUS
RN
     Benzeneacetamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-
CN
     2-pyridinyl] - (9CI) (CA INDEX NAME)
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RN 303162-91-6 CAPLUS
CN Benzamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-92-7 CAPLUS
CN Benzenepropanamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

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L54 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:471983 CAPLUS

DOCUMENT NUMBER: 143:13356

TITLE: Synergistically effective combinations of

dihaloacetamide compounds and interferon or ribavirin

against HCV infections

INVENTOR(S): Lu, Henry

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT	NO.			KIN				APPLICATION NO. DA						ATE		
	WO 200	50490	65													0041	119
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		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW
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	pharma																
	virus.																
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	infect																
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	Section			-		(s):	15										
ΙT	286841					_					_	_			_		
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	process									Ther	apeu	tic	use)	; BI	OF (1	Biol	ogical
	study)	; PRO	C (P:	roce	ss);	USE	s (v	ses)									

(synergistically effective combinations of dihaloacetamide compds. and interferon or ribavirin against HCV infections)

IT 667931-30-8

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(synergistically effective combinations of dihaloacetamide compds. and interferon or ribavirin against HCV infections)

RN 667931-30-8 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1124567 CAPLUS

DOCUMENT NUMBER: 142:74572

TITLE: Preparation of heterocyclic compounds for treating

hepatitis C virus

INVENTOR(S): Vourloumis, Dionisios; Takahashi, Masayuki; Winters,

Geoff; Zhou, Jinglan; Duchene, Russell

PATENT ASSIGNEE(S): Anadys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 416 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :	NO.			KIN	D .	DATE		į	APPL	ICAT:		DATE				
WO	2004	1103	51		A2	_	2004	1223	1	WO 2	004-1		20040514				
WO	2004	1103	51		<b>A</b> 3		2005	0428									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN, CO, CR,					CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH, GM,						ID,	IL,	IN,	IS,	JP,	ΚĒ,	KG,	KP,	KR,	ΚZ,	LC,
	LK, LR, LS,						LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO, NZ, OM,					PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	ΝL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	TG													
US	US 2005075375						2005	0407	1	US 2	004-		20040514				
PRIORIT	PRIORITY APPLN. INFO.:								1	US 2	003-		P 20030514				

OTHER SOURCE(S): MARPAT 142:74572

AB The title compds. I [X, Y, Z = C, N; W = N, O, S; R1, R3-R5 = H, halo, NO2, etc.; R2 = H, alkyl], useful for treating Hepatitis C virus, were prepared E.g., a multi-step synthesis of II, starting from 2'-hydroxy-5'-methoxyacetophenone, was given. The compds. I were tested for inhibition of HCV replication in in vitro assays (the results of EC50 assay are given for 640 compds. I). The pharmaceutical composition comprising the compound I is disclosed.

- IC ICM A61K
- CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 63
- 814258-88-3P 814256-93-4P 814257-49-3P 814258-90-7P IT 814258-89-4P 814259-02-4P 814258-95-2P 814258-96-3P 814259-03-5P 814259-04-6P 814259-05-7P **814259-16-0P** 814259-17-1P 814259-20-6P 814259-26-2P 814259-28-4P 814262-17-4P 814262-19-6P 814263-49-5P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

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               814261-18-2P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

## IT 814259-16-0P

CN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

#### RN 814259-16-0 CAPLUS

Carbamic acid, [4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

## IT 814259-25-1P 814259-41-1P 814259-49-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for

treating hepatitis C virus)

RN 814259-25-1 CAPLUS

CN Acetamide, N-[4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 814259-41-1 CAPLUS

CN Benzamide, N-[4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 814259-49-9 CAPLUS

CN Carbamic acid, [2-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L54 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:333699 CAPLUS

DOCUMENT NUMBER: 140:357334

TITLE: Preparation of pyrazole derivatives as antifungal

agents

INVENTOR(S): Konno, Fujiko; Nakazawa, Kyoko; Hirota, Hiroyuki;

Ishida, Kazuya; Kaneko, Yasushi; Okouchi, Hisako

PATENT ASSIGNEE(S): SSP Co., Ltd., Japan SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

#### PATENT INFORMATION:

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PATENT NO.
                              DATE
                                         APPLICATION NO.
                       KIND
                                                               DATE
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                             20040422 WO 2003-JP12856
                                                               20031008
    WO 2004033432
                       A1
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
            OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
            TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                        JP 2002-296127 A 20021009
OTHER SOURCE(S):
                      MARPAT 140:357334
GI
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$$R^{40}$$
 $R^{2}$ 
 $R^{1-N}$ 
 $R^{3}$ 

AB The title compds. I [R1 = alkyl, etc.; R3 = H, alkyl, etc.; R2 = H, halo, etc.; R4, R5 = H, acyl, etc.; or OR4 and OR5 together form alkylenedioxy; and X represents a methine group or a nitrogen atom] are prepared Compds. of this invention in vitro showed MIC values of 0.5 μg/mL to 4 μg/mL against Candida albicans ATCC 90028, vs. MIC of 0.25 μg/mL shown by fluconazole. Two compds. of this invention showed MIC value of 1 μg/mL against Aspergillus fumigatus IFM 41935, vs. MIC of > 64 μg/mL shown by fluconazole.

IC ICM C07D231-12

681234-75-3

ICS C07D401-04; C07D401-14; C07D409-14; C07D417-04; C07D403-04; A61K031-415; A61K031-428; A61K031-4439; A61K031-444; A61K031-454; A61K031-496; A61K031-506; A61K031-5377; A61K031-4545; A61P031-10

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10

IT 60-34-4 94-02-0, Ethyl 3-oxo-3-phenylpropanoate 98-80-6, Phenylboronic acid 98-86-2, Acetophenone, reactions 100-63-0 108-24-7, Acetic anhydride 110-91-8, Morpholine, reactions 302-01-2, Hydrazine, reactions 616-45-5, 2-Pyrrolidinone 630-08-0, Carbon monoxide, reactions 1073-70-7 1120-90-7, 3-Iodopyridine 2458-26-6, 3-Phenylpyrazole 2859-78-1, 4-Bromo-1,2-dimethoxybenzene 3054-95-3, 3,3-Diethoxypropene 3453-00-7, Diethyl 2-oxo-2-phenylethylphosphonate 4487-59-6, 2-Bromo-5-nitropyridine 4930-98-7, 2-Hydrazinopyridine 5447-02-9, 3,4-Bis(benzyloxy)benzaldehyde 7681-82-5, Sodium iodide, reactions 25620-54-6, Bromochloroethane 58045-88-8 62885-51-2 112334-44-8 133115-72-7 204847-72-3 681234-50-4 681234-55-9 681234-59-3 681234-61-7 681234-63-9 681234-67-3 681234-69-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. as antifungal agents)

IT 681234-75-3

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of pyrazole derivs. as antifungal agents)

RN 681234-75-3 CAPLUS

CN Carbamic acid, [3-[5-[3,4-bis(phenylmethoxy)phenyl]-1-(2-pyridinyl)-1H-pyrazol-3-yl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:287841 CAPLUS

DOCUMENT NUMBER: 140:321349

TITLE: Preparation of pyrazole derivatives as p38 MAP kinase

inhibitors and cytokine production inhibitors

INVENTOR(S): Hagihara, Masahiko; Shibakawa, Nobuhiko; Nishihara,

Masamichi; Shirai, Toshiyuki; Shimizu, Motohisa; Haseqawa, Tohru; Tokunaqa, Yasunori; Suzuki, Naoto;

Wada, Yukinori

PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 276 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIN	D I	DATE		1	APPL	ICAT:		DATE					
WO 2004029	043		<b>A1</b>		2004	0408	Ţ	WO 2	003-	JP12:	254		20030925			
W: AE	, AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
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GH	, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	
LR	, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NZ,	
OM	, PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	
TN	, TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw			
RW: GH	, GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
KG	, KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
FI	, FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
BF	, BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
CA 2500225					2004	0408	(	CA 2	003-		20030925					
EP 1553096					2005	0713	1	EP 2	003-		20030925					

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.:

UND 2002-279385 A 20020925
WO 2003-JP12254 W 20030925

OTHER SOURCE(S):

MARPAT 140:321349

GI

$$\mathbb{R}^{2}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{4}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{2}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{4}$$

$$\mathbb{R}^{9}$$

AB The title compds. I [R1 is optionally substituted phenyl; R2 is H, halogeno, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, or substituted amino; Q is CH or N; R3 is H, alkyl, or amino; and R4 is a group represented by the general formula Q1, etc.; R7 is H or alkyl; R8 is H, alkyl, or substituted amino; R9 is H or alkyl] are prepared Compds. of this invention in vitro showed IC50 values of 0.2 nM to 8.8 nM against p38 MAP kinase. Formulations are given.

IC ICM C07D401-14

ICS C07D403-14; C07D487-04; A61K031-501; A61K031-5025; A61K031-506; A61P001-04; A61P003-10; A61P009-10; A61P017-06; A61P019-02; A61P019-10; A61P025-00; A61P029-00; A61P037-08; A61P043-00

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 63

IT 148671-39-0P, 3-Dimethylamino-1-(4-fluorophenyl)-2-(pyridin-4-yl)-2-propen-1-one 216504-75-5P 216505-71-4P 216506-58-0P 216506-68-2P

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677320-70-6P 677320-72-8P 677320-74-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazole derivs. as p38 MAP kinase inhibitors and cytokine production inhibitors)

IT 677320-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazole derivs. as p38 MAP kinase inhibitors and cytokine production inhibitors)

RN 677320-43-3 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-4-methyl-3-pyridinyl)-3-(4-fluorophenyl)-1Hpyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

2003:282532 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:287681

TITLE: Preparation of heteroaryl substituted tetrazole

modulators of metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D.; Roppe, Jeffrey; Chen, Chixu;

Smith, Nicholas; Reger, Thomas

PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 119 pp.

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AB Title compds. I [X, Y = (un)substituted (hetero)aryl; A, B = alkyl, alkyl-SO-alkyl, alkyl-SO2-alkyl, etc.] are prepared For instance, 2-formylpyridine is condensed with toluenesulfonyl hydrazide to form the hydrazone. 3-Chloroaniline is converted to the diazonium salt and reacted with the hydrazone to form 2-[2-(3-chlorophenyl)-2H-tetrazol-5-yl]pyridine (II) as a pale orange solid. Compds. of the invention have IC50  $< 10\mu M$ for mGluR5 in the calcium flux assay. I are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, and panic, as well as in the treatment of pain and other diseases.

IC ICM C07D

28-10 (Heterocyclic Compounds (More Than One Hetero Atom)) CC Section cross-reference(s): 1

IT507268-12-4P, 2-[2-(3-Chlorophenyl)-2H-tetrazol-5-yl]pyridine

507268-13-5P, 3-(5-Pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile 507268-14-6P, 2-(2-Pyridin-3-yl-2H-tetrazol-5-yl)pyridine 507268-15-7P,

2-(3-Chlorophenyl)-5-(2-methyl-1,3-thiazol-4-yl)-2H-tetrazole

507268-16-8P, 3-[5-(2-Methylthiazol-4-yl)-2H-tetrazol-2-yl]benzonitrile

507268-17-9P, 2-[5-(3-Bromophenyl)-2H-tetrazol-2-yl]pyridine 507268-18-0P, 2-[5-(3-Chlorophenyl)-2H-tetrazol-2-yl]pyridine

507268-19-1P, 3-(2-Pyridin-2-yl-2H-tetrazol-5-yl)benzonitrile

507268-20-4P, 2-[2-(3,5-Difluorophenyl)-2H-tetrazol-5-yl]pyridine

507268-21-5P, 2-[2-(3-Methoxyphenyl)-2H-tetrazol-5-yl]pyridine

507268-22-6P, 2-[2-(3-Trifluoromethylphenyl)-2H-tetrazol-5-yl]pyridine

507268-23-7P, 2-[2-(3-Iodophenyl)-2H-tetrazol-5-yl]pyridine

507268-24-8P, 2-[2-(3-Bromophenyl)-2H-tetrazol-5-yl]pyridine

507268-25-9P, 2-[2-(3-Methylmercaptophenyl)-2H-tetrazol-5-yl]pyridine

507268-26-0P, 2-[2-(4-Fluorophenyl)-2H-tetrazol-5-yl]pyridine

507268-27-1P, 2-[2-(3-Fluorophenyl)-2H-tetrazol-5-yl]pyridine

507268-28-2P, 2-[2-(2-Methoxyphenyl)-2H-tetrazol-5-yl]pyridine

507268-29-3P, 2-[2-(3-Ethylphenyl)-2H-tetrazol-5-yl]pyridine

507268-30-6P, 2-[2-(3-Methylphenyl)-2H-tetrazol-5-yl]pyridine

507268-31-7P, 2-[2-(2-Chloro-3-pyridyl)-2H-tetrazol-5-yl]pyridine

507268-32-8P, 2-[2-(3,5-Dichlorophenyl)-2H-tetrazol-5-yl]pyridine

507268-33-9P, 2-[2-(2-Chlorophenyl)-2H-tetrazol-5-yl]pyridine

507268-34-0P, 2-[2-(4-Methoxyphenyl)-2H-tetrazol-5-yl]pyridine

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507268-35-1P, 2-[2-(4-Pyridyl)-2H-tetrazol-5-yl]pyridine 507268-36-2P,
2-[2-(3,5-Dimethylphenyl)-2H-tetrazol-5-yl]pyridine 507268-37-3P,
3-[5-(6-Methylpyridin-2-yl)-2H-tetrazol-2-yl]benzonitrile
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3-[5-(4-Methylpyridin-2-yl)-2H-tetrazol-2-yl]benzonitrile
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2-[2-(3-Isopropylphenyl)-2H-tetrazol-5-yl]pyridine
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2-[2-[3-(Trifluoromethoxy)phenyl]-2H-tetrazol-5-yl]pyridine
507268-41-9P, 2-[2-(3-Ethoxyphenyl)-2H-tetrazol-5-yl]pyridine
507268-42-0P, 2-[2-[3-Methoxy-5-(trifluoromethyl)phenyl]-2H-tetrazol-5-
            507268-43-1P, 3-[5-(1,3-Thiazol-2-yl)-2H-tetrazol-2-
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                 507268-44-2P, 3-[5-(5-Methylpyridin-2-yl)-2H-tetrazol-2-
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                 507268-45-3P, 3-[5-(3-Methylpyridin-2-yl)-2H-tetrazol-2-
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                 507268-46-4P, 3-[5-(1-Methyl-1H-imidazol-2-yl)-2H-
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                    507268-50-0P, 2-[2-(2,5-Dichlorophenyl)-2H-tetrazol-5-
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              507268-51-1P, 2-[2-(3,4-Difluorophenyl)-2H-tetrazol-5-
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              507268-52-2P, 2-[2-(3-Chloro-4-methylphenyl)-2H-tetrazol-5-
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              507268-53-3P, 2-[2-(2,3-Dichlorophenyl)-2H-tetrazol-5-
             507268-54-4P, 2-[2-[3-Methyl-5-(trifluoromethyl)phenyl]-2H-
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2-[2-(2,3-Dihydro-1H-inden-5-yl)-2H-tetrazol-5-yl]pyridine
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2-[2-(1,3-Dihydro-2-benzofuran-5-yl)-2H-tetrazol-5-yl]pyridine
507268-62-4P, 2-[2-(4-Methoxy-2-naphthyl)-2H-tetrazol-5-yl]pyridine
507268-63-5P, 2-[2-(1-Naphthyl)-2H-tetrazol-5-yl]pyridine
                                                            507268-64-6P,
2-[2-(3,5-Dimethoxyphenyl)-2H-tetrazol-5-yl]pyridine 507268-66-8P,
2-[2-(4-Phenoxyphenyl)-2H-tetrazol-5-yl]pyridine 507268-67-9P,
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3-(5-(Isoxazol-3-yl)-2H-tetrazol-2-yl)benzonitrile
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507268-70-4P, 3-(5-(Oxazol-4-yl)-2H-tetrazol-2-yl)benzonitrile
             507268-72-6P, 3-[5-(1H-Imidazol-2-yl)-2H-tetrazol-2-
507268-71-5P
                 507268-73-7P, 3-[5-(5-Hydroxypyridin-2-yl)-2H-tetrazol-2-
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                 507268-74-8P, 3-[5-(5-Bromopyridin-2-yl)-2H-tetrazol-2-
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                         507268-75-9P, 3-Fluoro-5-[5-(3-fluoropyridin-2-
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imidazol-2-yl)-2H-tetrazol-2-yl]phenoxy]pyridine
3-Fluoro-5-[5-(4-methyl-1H-imidazol-2-yl)-2H-tetrazol-2-yl]benzonitrile
507268-79-3P, 3-[3-Fluoro-5-[5-(1H-[1,2,3]triazol-4-yl)-2H-tetrazol-2-
yl]phenoxy]pyridine 507268-80-6P, 2-[2-[3-Fluoro-5-(pyridin-3-
yloxy)phenyl]-2H-tetrazol-5-yl]pyrimidine 507268-81-7P,
3-[3-Fluoro-5-[5-(1H-pyrazol-3-yl)-2H-tetrazol-2-yl]phenoxy]pyridine
507268-82-8P, 3-[3-Fluoro-5-[5-(1-methyl-1H-pyrazol-3-yl)-2H-tetrazol-2-
yl]phenoxy]pyridine 507268-83-9P, 3-Fluoro-5-[5-(1-methyl-1H-pyrazol-3-
yl)-2H-tetrazol-2-yl]benzonitrile 507268-84-0P, N-[4-(5-Pyridin-2-yl-2H-
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                                      507268-85-1P, 2-[2-[4-(Pyridin-3-
ylmethyl)phenyl]-2H-tetrazol-5-yl]pyridine
                                           507268-86-2P,
N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-yl)phenyl]pyridin-3-amine
507268-87-3P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-yl)phenyl]pyridin-2-amine
507268-88-4P, 2-[2-[3-(Pyridin-2-yloxy)phenyl]-2H-tetrazol-5-yl]pyridine
507268-89-5P, 2-[2-(3-Ethynylphenyl)-2H-tetrazol-5-yl]pyridine
507268-90-8P, 2-[2-[4-(Pyridin-3-yloxy)phenyl]-2H-tetrazol-5-yl]pyridine
507268-91-9P, 2-[2-[3-(Pyridin-3-yloxy)phenyl]-2H-tetrazol-5-yl]pyridine
507268-92-0P, 2-[2-(3-Nitrophenyl)-2H-tetrazol-5-yl]pyridine
507268-93-1P, 2-Methyl-3-[3-(5-pyridin-2-yl-2H-tetrazol-2-
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507269-51-4P, N-[3-Fluoro-5-[5-(1H-imidazol-2-yl)-2H-tetrazol-2-
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of diaryl substituted tetrazole modulators of metabotropic
   glutamate receptor-5)
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
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507270-04-4 CAPLUS
Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI)
INDEX NAME)
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TENTO

ACCESSION NUMBER: 2002:964216 CAPLUS

DOCUMENT NUMBER: 138:33356

TITLE: Medicinal compositions as p38MAP kinase and/or

TNF- $\alpha$  production inhibitor for pain

INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Morimoto, Shigeru;

Nagase, Yoshinori; Miwatashi, Seiji

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PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

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									JP 2001-175273 A 20010									
		,	WO 2002-JP5726 W 20020610															

MARPAT 138:33356 OTHER SOURCE(S):

Prevention/treatment for pain and/or suppression of the activation and/or inhibition of the formation of osteoclasts by using a p38MAP kinase inhibitor and/or a TNF- $\alpha$  production inhibitor. A method of HDL1 relieving a P 450-inhibitory effect of a compound having a pyridyl group or its salt characterized by introducing a substituent into the  $\alpha$ -position of the nitrogen atom in the pyridyl group of the above compound or its salt, or for relieving a P 450-inhibitory effect of a compound having a pyridyl group and an aromatic hydrocarbyl group or its salt characterized by introducing a polar group into the aromatic hydrocarbyl group of the above compound or its salt.

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303162-96-1
yl]-2-pyridyl]-N-methylphenylacetamide 303162-98-3 303162-99-4,
N-Benzyl-N-[4-[4-(4-Methoxyphenyl)-2-methyl-1,3-thiazol-5-yl]-2-
pyridyl]amine 303163-00-0 303163-01-1, N-[4-[2-Ethyl-4-(3-
Methylphenyl) -1, 3-thiazol-5-yl] -2-pyridyl] -N-(2-phenylethyl) amine
303163-02-2, N-[4-[2-Ethyl-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-
N-(3-phenylpropyl)amine 303163-03-3, N-Benzyl-N-[4-[4-(3-Methylphenyl)-2-
propyl-1,3-thiazol-5-yl]-2-pyridyl]amine
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N-[4-[4-(3-Methylphenyl)-2-propyl-1,3-thiazol-5-yl]-2-pyridyl]-N-(2-yridyl)
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phenylethyl)amine
thiazol-5-yl]-2-pyridyl]-N-(3-phenylpropyl)amine 303163-06-6,
N-Benzyl-N-[4-[2-butyl-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-
pyridyl]amine 303163-07-7, N-[4-[2-Butyl-4-(3-Methylphenyl)-1,3-thiazol-
5-yl]-2-pyridyl]-N-(2-phenylethyl)amine 303163-08-8,
N-[4-[2-Butyl-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-(3-Methylphenyl)
phenylpropyl) amine 303163-09-9, N-Benzyl-N-[4-[2-(4-fluorophenyl)-4-(3-
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N-[4-[2-(4-Fluorophenyl)-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-
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303163-12-4, N-Benzyl-N-[4-[2-(2-chlorophenyl)-4-(3-Methylphenyl)-1,3-
thiazol-5-yl]-2-pyridyl]amine 303163-13-5, N-[4-[2-(2-Chlorophenyl)-4-(3-
Methylphenyl) -1, 3-thiazol-5-yl] -2-pyridyl] -N-(2-phenylethyl) amine
303163-14-6, N-[4-[2-(2-Chlorophenyl)-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-
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N - [4 - (4 - (3 - Methylphenyl) - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 1, 3 - thiazol - 5 - yl] - 2 - (4 - methylthiophenyl) - 2 - (4 - methylthiophenyl) - 2 - (4 - methylthiophenyl) - 3 - thiazol - 3 - yl] - yl] - 3 - yl] - yl
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(4-methylthiophenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-(3-phenylpropyl)amine
303163-18-0, N-[4-[4-(3-Methylphenyl)-2-(4-methylthiophenyl)-1,3-thiazol-5-
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N-[4-[4-(3-Methylphenyl)-2-(4-methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-
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methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]phenylacetamide
303163-21-5
                           303163-22-6, N-[4-[4-(3-Methylphenyl)-2-(4-
methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-2-thiophenecarboxamide
                           303163-24-8, N-Benzyl-N-[4-[4-(3-Methylphenyl)-2-(4-
303163-23-7
methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]amine 303163-25-9,
N-[4-[4-(3-Methylphenyl)-2-(4-methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-
pyridyl]-N-(3-phenylpropyl)amine 303163-26-0, N-[4-[4-(3-Methylphenyl)-2-
(4-methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-(2-
naphthylmethyl)amine
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Methylphenyl) -1, 3-thiazol-5-yl] -2-pyridyl] -N-(4-methoxybenzyl) amine
303163-29-3, N-[4-[2-Amino-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-
N-(3-methoxybenzyl)amine
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1,3-thiazol-5-yl]-2-pyridyl]-N-(2-methoxybenzyl)amine
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chlorobenzyl) amine
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thiazol-5-yl]-2-pyridyl]-N-(3-chlorobenzyl)amine
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303163-34-0, (S) N-[4-[2-Amino-4-(3-Methylphenyl)-1,3-thiazol-5-yl]-2-
pyridyl]-N-(1-phenylethyl)amine 303163-35-1, N-[4-[2-Amino-4-(3-
Methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-benzyl-N-methylamine
303163-36-2, N-[4-[2-Amino-4-(3-Methoxyphenyl)-1,3-thiazol-5-yl]-2-
pyridyl]-N-benzylamine 303163-37-3, N-[4-[4-(3-Methylphenyl)-2-(4-
methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-(2-phenylethyl)amine
303163-38-4, N-(4-Fluorobenzyl)-N-[4-[4-(3-Methylphenyl)-2-(4-
methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]amine
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N-Benzyl-N-methyl-N-[4-[4-(3-Methylphenyl)-2-(4-methylsulfonylphenyl)-1,3-
thiazol-5-yl]-2-pyridyl]amine
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Methylphenyl) -2-(4-methylsulfonylphenyl) -1,3-thiazol-5-yl] -2-pyridyl] -N-(2-
phenylethyl)amine
                   303163-41-9, N-[4-[4-(3-Methylphenyl)-2-(4-
methylsulfonylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]-N-(2-
                     303163-42-0, 4-(3-Methylphenyl)-2-(4-
thienylmethyl)amine
methylsulfonylphenyl)-5-(2-phenylthio-4-pyridyl)-1,3-thiazole
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303787-81-7
pyridyl)ethanone hydrobromide
                                303787-85-1, 2-Bromo-1-(3-methoxyphenyl)-2-
                                   303787-87-3
(3-pyridyl) ethanone hydrobromide
                                                 303787-89-5,
2-Bromo-1-(3-methoxyphenyl)-2-(4-pyridyl)ethanone hydrobromide
303787-91-9, 2-Bromo-2-(4-pyridyl)-1-(4-trifluoromethoxyphenyl)ethanone
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RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
use); BIOL (Biological study); USES (Uses)
   (medicinal compns. as p38MAP kinase and/or TNF-\alpha production inhibitor
   for pain)
303162-77-8, N-[4-[2-(2-Chlorophenyl)-4-(3-methylphenyl)-1,3-
thiazol-5-yl]-2-pyridyl]phenylacetamide 303162-91-6,
N-[4-[2-(2-Chlorophenyl)-4-(3-methylphenyl)-1,3-thiazol-5-yl]-2-
pyridyl]benzamide 303162-92-7, N-[4-[2-(2-Chlorophenyl)-4-(3-
methylphenyl) -1,3-thiazol-5-yl] -2-pyridyl] -3-phenylpropionamide
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
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use); BIOL (Biological study); USES (Uses) (medicinal compns. as p38MAP kinase and/or TNF- $\alpha$  production inhibitor for pain)

RN 303162-77-8 CAPLUS

CN Benzeneacetamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-91-6 CAPLUS

CN Benzamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-92-7 CAPLUS

CN Benzenepropanamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:449677 CAPLUS

DOCUMENT NUMBER: 137:33288

TITLE: Preparation of substituted thiazole derivatives

bearing 3-pyridyl groups as steroid C17,20 lyase inhibitors, process for preparing the same and use

thereof

INVENTOR(S):
Kusaka, Masami; Kuroda, Noritaka; Nara, Yoshi;

Hashiguchi, Shohei; Tasaka, Akihiro; Yamaoka, Masuo;

Kaku, Tomohiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 190 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIND DATE			APPLICATION NO.				DATE							
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ;	LC,	LK,	LR,	LS,
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$$A^2$$
 $A^3$ 
 $S$ 
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 $S$ 

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Disclosed are pharmaceutical compns., more particularly, steroid C17,20 lyase inhibitors characterized by containing a compound of the general formula (I) or a salt or prodrug thereof (wherein Al is an optionally substituted aromatic hydrocarbon group or an optionally substituted heterocyclic group; and one of A2 or A3 is hydrogen, halogeno, an optionally substituted C1-4 aliphatic hydrocarbon group, or optionally esterified carboxyl, and the other is an optionally substituted heterocyclic group, with the proviso that at

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least one of A1, A2, and A3 is optionally substituted 3-pyridyl). These
    compns. are useful as preventive or therapeutic agents for sex
    hormone-dependent diseases such as prostatic hypertrophy, virilism,
    hypertrichosis, male alopecia, male early maturation, endometriosis,
    hysteromyoma, uterine adenomyosis, mastopathy, and polycystic ovary
    syndrome. Thus, 2-bromo-1-(4-methylpyridin-3-yl)ethanone hydrobromide and
    4-methylpyridine-3-thiocarboxamide were suspended in ethanol and refluxed
    for 3 h to give 4-methyl-3-[2-(4-methylpyridin-3-yl)-1,3-thiazol-4-
    yl]pyridine (II). II showed IC50 of <10 nM against rat steroid C17,20
    lyase. Pharmaceutical formulations, e.g. a coated tablet containing II, were
    prepared
IC
    ICM C07D417-04
        C07D417-14; A61K031-4439; A61K031-4545; A61K031-4725; A61P043-00;
    ICS
         A61P013-08; A61P015-00; A61P017-14; A61P035-00
CC
    28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
    Section cross-reference(s): 1, 63
                  71562-73-7P 93209-48-4P 181632-82-6P 222629-41-6P
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    thiazol-2-yl]pyridin-4-yl]propan-2-ol 435272-31-4P, 3-[4-(4-
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    435272-38-1P, 3-[5-Fluoro-4-(4-methylphenyl)-1,3-thiazol-2-yl]-4-
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    yl]-1,3-thiazol-4-yl]benzenesulfonamide 435272-41-6P,
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    435272-42-7P, 3-[2-[4-(Trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-
    yl]aniline 435272-43-8P, N-(4-[2-[4-(Trifluoromethyl)pyridin-3-yl]-1,3-
    thiazol-4-yl]phenyl)acetamide 435272-44-9P, N-(4-[2-[4-
     (Trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-yl]phenyl)methanesulfonamide
                   435272-45-0P, N-(3-[2-[4-(Trifluoromethyl)pyridin-3-yl]-
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    1,3-thiazol-4-yl]phenyl)methanesulfonamide hydrochloride 435272-46-1P,
    4-[2-[4-(Trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-yl]benzoic acid
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    435272-48-3P, 4-[2-[4-(Trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-
    yl]benzamide
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                   435272-50-7P, 3-[4-(4-Methylpyridin-3-yl)-1,3-thiazol-2-
    yl]benzamide
                  435272-51-8P, N-Methyl-3-[4-(4-methylpyridin-3-yl)-1,3-
    yl]benzamide
    thiazol-2-yl]benzamide 435272-52-9P, N,N-Dimethyl-3-[4-(4-methylpyridin-
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3-y1)-1,3-thiazol-2-y1]benzamide 435272-53-0P, 4-[4-(4-Methylpyridin-3-
yl)-1,3-thiazol-2-yl]benzamide 435272-54-1P, N-Methyl-4-[4-(4-
methylpyridin-3-yl)-1,3-thiazol-2-yl]benzamide 435272-55-2P,
N, 4-Dimethyl-3-[4-(4-methylpyridin-3-yl)-1, 3-thiazol-2-yl]benzamide
435272-56-3P, N,N,4-Trimethyl-3-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-
              435272-57-4P, 4-Methyl-3-[2-[2-methyl-5-(pyrrolidin-1-
yl]benzamide
ylcarbonyl)phenyl]-1,3-thiazol-4-yl]pyridine 435272-58-5P,
4-Fluoro-N-methyl-3-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-yl]benzamide
435272-59-6P, 2-Chloro-N-methyl-5-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-
yl]benzamide 435272-60-9P, N-[3-[4-(4-Methylpyridin-3-yl)-1,3-
                               435272-61-0P, N-[4-[4-(4-Methylpyridin-3-
thiazol-2-yl]phenyl]acetamide
                                       435272-62-1P, 4-Methyl-3-[4-(4-
yl)-1,3-thiazol-2-yl]phenyl]acetamide
methylpyridin-3-yl)-1,3-thiazol-2-yl]phenyl]formamide 435272-63-2P
, N-[4-Methyl-3-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-
                      435272-64-3P, 4-Methyl-3-[2-(2-pyridyl)-1,3-thiazol-
yl]phenyl]acetamide
                435272-65-4P, 4-Methyl-3-[2-(3-pyridyl)-1,3-thiazol-4-
4-yl]pyridine
              435272-66-5P, 4-Methyl-3-[2-(4-pyridyl)-1,3-thiazol-4-
yl]pyridine
yl]pyridine
              435272-67-6P, 5-[4-(4-Methylpyridin-3-yl)-1,3-thiazol-2-
                  435272-68-7P, N-Methyl-5-[4-(4-methylpyridin-3-yl)-1,3-
yl]nicotinamide
thiazol-2-yl]nicotinamide
                           435272-69-8P, N-Ethyl-5-[4-(4-methylpyridin-3-
yl)-1,3-thiazol-2-yl]nicotinamide
                                   435272-70-1P, N-Methyl-6-[4-(4-
methylpyridin-3-yl)-1,3-thiazol-2-yl]pyridine-2-carboxamide
435272-71-2P, N-Methyl-6-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-
                 435272-72-3P, 4-[4-(4-Methylpyridin-3-yl)-1,3-thiazol-2-
yl]nicotinamide
yl]isoindolin-1-one
                      435272-73-4P, 2-Methyl-4-[4-(4-methylpyridin-3-yl)-
1,3-thiazol-2-yl]isoindolin-1-one 435272-74-5P, 5-[4-(4-Methylpyridin-3-
yl)-1,3-thiazol-2-yl]pyridin-2(1H)-one
                                         435272-75-6P,
3-[4-(4-Methylpyridin-3-yl)-1,3-thiazol-2-yl]isoquinoline
                                                            435272-76-7P,
1-[4-(4-Methylpyridin-3-yl)-1,3-thiazol-2-yl]isoquinoline
                                                            435272-77-8P,
2,4-Dimethoxy-5-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-yl]pyrimidine
435272-78-9P, 3-[5-Methyl-4-(4-methylpyridin-3-yl)-1,3-thiazol-2-
yl]benzamide 435272-79-0P, 3-[5-Isopropyl-4-(4-methylpyridin-3-yl)-1,3-
thiazol-2-yl]benzamide 435272-80-3P, 3-[5-Chloro-4-(4-methylpyridin-3-
yl)-1,3-thiazol-2-yl]-N,N-dimethylbenzamide
                                              435272-81-4P,
3-[5-Methyl-4-(4-methylpyridin-3-yl)-1,3-thiazol-2-yl]benzenesulfonamide
435272-82-5P, 3-[2-[4-Methylpyridin-3-yl]-1,3-thiazol-4-yl]benzamide
435272-83-6P, 3-[2-[4-(Trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-
               435272-84-7P, 2-Fluoro-5-[2-[4-(trifluoromethyl)pyridin-3-
yl]benzamide
yl]-1,3-thiazol-4-yl]benzamide 435272-85-8P, 2-Fluoro-N-methyl-5-[2-[4-
(trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-yl]benzamide
                                                            435272-86-9P,
2-Fluoro-N, N-dimethyl-5-[2-[4-(trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-
               435272-87-0P, N-Ethyl-2-fluoro-5-[2-[4-
yl]benzamide
(trifluoromethyl)pyridin-3-yl]-1,3-thiazol-4-yl]benzamide
                                                            435272-88-1P,
3-[4-(4-(Ethylpyridin-3-yl)-1,3-thiazol-2-yl]benzamide
                                                         435272-89-2P,
3-[4-(4-(Ethylpyridin-3-yl)-1,3-thiazol-2-yl]-N-methylbenzamide
435272-90-5P, 3-[4-(4-(Ethylpyridin-3-yl)-1,3-thiazol-2-yl]-N,N-
                   435272-91-6P, 3-[4-(4-(Isopropylpyridin-3-yl)-1,3-
dimethylbenzamide
                        435272-92-7P, 3-[4-(4-(Isopropylpyridin-3-yl)-1,3-
thiazol-2-yl]benzamide
thiazol-2-yl]-N,4-dimethylbenzamide 435272-94-9P, 3-[4-(4-
(Isopropylpyridin-3-yl)-1,3-thiazol-2-yl]-N,N-dimethylbenzamide
               435272-95-0P, 3-[4-(4-(Ethylpyridin-3-yl)-1,3-thiazol-2-
hemifumarate
                       435272-96-1P, 4-[4-(4-(Ethylpyridin-3-yl)-1,3-
yl]benzenesulfonamide
thiazol-2-yl]benzenesulfonamide 435273-00-0P, 3-[4-(3-Bromo-4-
fluorophenyl)-1,3-thiazol-2-yl]-4-(trifluoromethyl)pyridine
435273-01-1P, Ethyl 2-fluoro-5-[2-[4-trifluoromethyl)pyridin-3-yl]-1,3-
                           435273-03-3P, 4-Methyl-3-[2-(4-methyl-pyridin-
thiazol-4-yl]benzoic acid
3-y1)-1,3-thiazol-4-y1]pyridine 435273-04-4P, 4-Methyl-3-[4-(pyridin-4-
yl)-1,3-thiazol-2-yl]pyridine 435273-05-5P, N-Methyl-3-[2-(4-
methylpyridin-3-yl)-1,3-thiazol-4-yl]benzamide 435273-06-6P,
N, N-Dimethyl-3-[2-(4-methylpyridin-3-yl)-1,3-thiazol-4-yl]benzamide
```

435273-07-7P, N-Ethyl-3-[2-(4-methylpyridin-3-yl)-1,3-thiazol-4-yl]benzamide 435273-08-8P, 3-[4-[3-(1-Azetidinylcarbonyl)phenyl]-1,3-thiazol-2-yl]-4-methylpyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyridylthiazole derivs. as steroid C17,20 lyase inhibitors for treatment and prevention of sex hormone-dependent diseases)

IT 435272-05-2P 435272-60-9P, N-[3-[4-(4-Methylpyridin-3yl)-1,3-thiazol-2-yl]phenyl]acetamide 435272-63-2P,
N-[4-Methyl-3-[4-(4-methylpyridin-3-yl)-1,3-thiazol-2-yl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of substituted pyridylthiazole derivs. as steroid C17,20 lyase inhibitors for treatment and prevention of sex hormone-dependent diseases)

RN 435272-05-2 CAPLUS

CN Acetamide, N-[3-[2-[4-(trifluoromethyl)-3-pyridinyl]-4-thiazolyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 435272-60-9 CAPLUS

CN Acetamide, N-[3-[4-(4-methyl-3-pyridinyl)-2-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 435272-63-2 CAPLUS

CN Acetamide, N-[4-methyl-3-[4-(4-methyl-3-pyridinyl)-2-thiazolyl]phenyl](9CI) (CA INDEX NAME)

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:772628 CAPLUS

DOCUMENT NUMBER: 133:321879

TITLE: Preparation of 5-pyridyl-1,3-azole compounds as

antagonists of adenosine A3 receptor, process for

producing the same and use thereof

INVENTOR(S): Ohkawa, Shigenori; Kanzaki, Naoyuki; Miwatashi, Seiji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE			APPLICATION NO.				DATE					
WO 200064894						WO 2000-JP2575				20000420							
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											, IN,						
		LK.	LR.	LT.	LV,	MA.	MD,	MG,	MK,	MN	, MX,	NO,	NZ,	PL,	RO	, RU,	SG,
			-	-							, VN,			•		•	•
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH	, CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF	, BJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG				
CA	2370	264	•	·	AA	·	2000	1102		ÇA	2000-	2370	264			20000	420
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		IE,	SI,	LT,	LV,	FI,	RO										
BR	2000	0099	52		Α		2002	0326		BR	2000-	9952				20000	1420
											2000-						
AU	7654	73			B2		2003	0918		AU	2000-	3840	1			20000	420
	2237						2004	0927		RU	2001-	1315	56			20000	420
JP	2001	1147	79		A2		2001	0424		JP	2000-	1262	89			20000	421
	3333				B2		2002	1015									
JР	2002	3631	79		A2		2002	1218		JΡ	2002-	1647	44			20000	421
	2209				В1		2004	0911		TW	2000-	8912	2035			20001	1020
NO	2001	0051	56		Α		2001	1218		NO	2001-	5156				20011	L022
	3205				В1		2005	1227									
ZA	2001	0089	96		Α		2003	0131		ZA	2001-	8996				20011	L031
IORIT	Y APP	LN.	INFO	. :							1999-					19990	0423
										JP	1999-	2246	50	i	A	19990	806
										WO	2000-	JP25	75	1	W	20000	0420
										JP	2000-	1262	89	1	<b>A</b> 3	20000	0421
THER S	OURCE	(S):			MAR	PAT	133:	3218	79								

OTHER SOURCE(S): MARPAT 133:321879

GΙ

$$\mathbb{R}^{2}$$
  $\mathbb{R}^{2}$   $\mathbb{R}^{2}$   $\mathbb{R}^{2}$   $\mathbb{R}^{2}$   $\mathbb{R}^{2}$   $\mathbb{R}^{2}$ 

AB Optionally N-oxidized compds. represented by general formula (I) salts thereof [wherein R1 represents hydrogen, hydrocarbyl, a heterocycle, amino or acyl; R2 represents an aromatic group; R3 represents hydrogen, pyridyl or aromatic hydrocarbyl; X represents oxygen or optionally oxidized sulfur; Y represents a bond, oxygen, optionally oxidized sulfur or NR4 (wherein R4 represents hydrogen, hydrocarbyl, or acyl); and Z represents a bond or a divalent chain hydrocarbyl] are prepared These compds. are usable as preventives or remedies for diseases in association with adenosine A3 receptor because of having excellent adenosine A3 receptor antagonism thereof. Moreover, the compds. I or salts thereof exhibit excellent effects of inhibiting p38 MAP kinase and inhibiting TNF- $\alpha$  and, therefore, are also usable as preventives or remedies for diseases in association with p38 MAP kinase or TNF- $\alpha$ . Above diseases include asthma, allergies, brain edema, cerebral vascular disorders, head injuries, inflammation, Addison's disease, autoimmune hemolytic anemia, Crohn's disease, psoriasis, rheumatism, spinal cord injury, multiple sclerosis, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, diabetes, arthritis, septicemia, ulcerative colitis, chronic pneumonia, silicosis, lung sarcoidosis, pulmonary tuberculosis, cachexia, arteriosclerosis, Creutzfeldt-Jakob disease, virus infection, atopic dermatitis, systemic lupus erythematosus, AIDS encephalopathy, meningitis, angina pectoris, myocardial infarction, ischemic heart failure, hepatitis, transplant, dialysis hypotension, and frequent disseminated intravascular coagulation. Thus, bromination of 2-(2-benzoylamino-4-pyridyl)-1-(4methoxyphenyl)ethanone with Br in AcOH at room temperature for 1 h followed by cyclocondensation of the bromination product with thiourea in the presence of Et3N in MeCN at 80° for 5 h gave N-[4-[2-amino-4-(4methoxyphenyl)-1,3-thiazol-5-yl]-2-pyridyl]benzamide (II). II showed IC50 of 0.020  $\mu\text{M}$  against p38 MAP kinase and 0.014  $\mu\text{M}$  for inhibiting the production of TNF- $\alpha$  in THP-1 cells.

IC ICM C07D417-04

> ICS C07D417-14; A61K031-4439; A61P043-00; A61P029-00; A61P031-12; A61P003-10; A61P001-00; A61P009-00; A61P007-00

28-7 (Heterocyclic Compounds (More Than One Hetero Atom)) CC

Section cross-reference(s): 1, 7

IT 303162-57-4P 303162-71-2P 303162-72-3P 303162-74-5P 303162-75-6P 303162-76-7P **303162-77-8P** 303162-78-9P 303162-79-0P 303162-85-8P 303162-80-3P 303162-86-9P 303162-87-0P 303162-88-1P

303162-90-5P 303162-91-6P 303162-92-7P 303162-89-2P

303162-93-8P 303162-94-9P 303162-95-0P 303162-96-1P 303163-15-7P

303163-17-9P 303163-18-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridylazole compds. as antagonists of adenosine A3 receptor and inhibitors of TNF- $\alpha$  and p38 MAP kinase for therapeutics)

303162-77-8P 303162-91-6P 303162-92-7P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridylazole compds. as antagonists of adenosine A3 receptor and inhibitors of TNF- $\alpha$  and p38 MAP kinase for therapeutics)

RN 303162-77-8 CAPLUS

CN

Benzeneacetamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-91-6 CAPLUS

CN Benzamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-92-7 CAPLUS

CN Benzenepropanamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 13 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:286541 USPATFULL

TITLE:

Compositions and methods for treating hepatitis C

virus (HCV) infection

INVENTOR(S): Holsztynska, Elzbieta J., Half Moon Bay, CA, UNITED

STATES

NUMBER KIND DATE -----US 2005249805 A1 20051110 US 2004-17531 A1 20041218 (11) PATENT INFORMATION: APPLICATION INFO.:

> NUMBER DATE -----

PRIORITY INFORMATION: US 2003-531543P 20031219 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, 555 CALIFORNIA STREET, SUITE

1000, SUITE 1000, SAN FRANCISCO, CA, 94104, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 32 Drawing Page(s)

LINE COUNT: 2498

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Provided are compositions and methods for protecting a compound comprising a haloalkylamide moiety from metabolic transformation by hydrolases. In one aspect, the disclosure is directed to increasing the bioavailability and tissue delivery of a anti-HCV compound comprising a haloalkylamide moiety by protecting the compound from inactivation by carboxylesterases. Specific approaches for limiting metabolic transformation include use of carboxylesterase inhibitors to inhibit metabolism of the compound, or use of orally administered compositions designed to deliver the compound to the small intestine or large intestine. Further provided are methods of treating or preventing HCV infection in a subject.

## CAS INDEXING IS AVAILABLE FOR THIS PATENT.

## IT 667931-30-8

(as antiviral agent; treatment of hepatitis C virus infection with antiviral haloalkylamide agents and inhibitors for protecting the antiviral agent from inactivation by hydrolases)

667931-30-8 USPATFULL RN

Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-CN pyridinyl] - (9CI) (CA INDEX NAME)

L54 ANSWER 14 OF 23 USPATFULL on STN

ACCESSION NUMBER:

2005:150748 USPATFULL

TITLE:

Synergistically effective combinations of

dihaloacetamide compounds and interferon or ribavirin

against HCV infections

INVENTOR (S):

Lu, Henry, Foster City, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005129659	A1	20050616	
APPLICATION INFO.:	US 2004-993212	Al	20041119	1

NUMBER DATE

PRIORITY INFORMATION:

LEGAL REPRESENTATIVE:

US 2003-523405P 20031119 (60)

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT:

DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT,

(10)

50 SOUTH SIXTH STREET, MINNEAPOLIS, MN, 55402-1498, US

NUMBER OF CLAIMS:

17

EXEMPLARY CLAIM:

4 Drawing Page(s)

NUMBER OF DRAWINGS: LINE COUNT:

960

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to anti-HCV dihaloacetamide compounds in synergistic combination with an interferon and/or ribavirin and pharmaceutical compositions thereof for inhibition of the replication of HCV virus. The present invention also relates to the use of the compositions to inhibit HCV replication and/or proliferation and to treat or prevent HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 667931-30-8

(synergistically effective combinations of dihaloacetamide compds. and interferon or ribavirin against HCV infections)

RN 667931-30-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 15 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:99595 USPATFULL

TITLE: Heteroaryl substituted pyrrole modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D.P., San Diego, CA, UNITED STATES

Huang, Dehua, San Diego, CA, UNITED STATES

Smith, Nicholas D, San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	 2005085514 2003-499393	A1 A1	20050421	(10)
AFFIICATION INTO	 2003-499393 2002-US40486	AI	20021217	(10)

NUMBER DATE
----US 2003-343262P 20011221 (60)

PRIORITY INFORMATION: US 2003-343262P 2
DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ,

07065-0907, US

NUMBER OF CLAIMS: 32 EXEMPLARY CLAIM: 1 LINE COUNT: 2635

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pyrrole compounds substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl, are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, bipolar disorder and panic, as well as in the treatment of pain, circadian rhythm disorders, and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

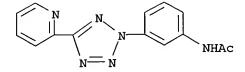
IT 507270-04-4P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-

yl)phenyl]acetamide

(preparation of diaryl substituted tetrazole modulators of metabotropic glutamate receptor-5)

RN 507270-04-4 USPATFULL

CN Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)



L54 ANSWER 16 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:87910 USPATFULL

TITLE: Heterocyclic compounds for treating hepatitis C virus INVENTOR(S): Vourloumis, Dionisios, San Diego, CA, UNITED STATES Takahashi, Masayuki, San Diego, CA, UNITED STATES

Winters, Geoffrey C., Coquitlam, CANADA Zhou, Jinglan, San Diego, CA, UNITED STATES Duchene, Russell, San Diego, CA, UNITED STATES

PATENT ASSIGNEE(S): Anadys Pharmaceuticals, Inc., San Diego, CA (U.S.

corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2003-470200P 20030514 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: CONNOLLY BOVE LODGE & HUTZ LLP, SUITE 800, 1990 M

STREET NW, WASHINGTON, DC, 20036-3425

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 8178

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to heterocyclic compounds and pharmaceutical compositions of the same for treating Hepatitis C virus.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 814259-16-0P

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

RN 814259-16-0 USPATFULL

CN Carbamic acid, [4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 814259-25-1P 814259-41-1P 814259-49-9P

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

RN 814259-25-1 USPATFULL

CN Acetamide, N-[4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 814259-41-1 USPATFULL

CN Benzamide, N-[4-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 814259-49-9 USPATFULL

CN Carbamic acid, [2-hydroxy-3-[3-(3-methyl-2-pyridinyl)-1,2,4-oxadiazol-5-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L54 ANSWER 17 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:31526 USPATFULL

TITLE: Heteroaryl substituted pyrazole modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D.P., San Diego, CA, UNITED STATES

Chen, Chixu, San Diego, CA, UNITED STATES
Eastman, Brian W., San Diego, CA, UNITED STATES
Huang, Dehua, San diego, CA, UNITED STATES
Munoz, Benito, San Diego, CA, UNITED STATES
Prasit, Petpiboon, San Diego, CA, UNITED STATES
Smith, Nicholas D., San Diego, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2001-341382P 20011218 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907

NUMBER OF CLAIMS: 44
EXEMPLARY CLAIM: 1
LINE COUNT: 4944

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pyrazole compounds substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl, are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, bipolar disorder and panic, as well as in the treatment of pain, circadian rhythm disorders, and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 507270-04-4P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-

yl)phenyl]acetamide

(preparation of diaryl substituted tetrazole modulators of metabotropic glutamate receptor-5)

RN 507270-04-4 USPATFULL

CN Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 18 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:24036 USPATFULL

TITLE: Heteroaryl substituted triazole modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D.P., San Diego, CA, UNITED STATES

Prasit, Petpiboon, San Diego, CA, UNITED STATES Roppe, Jeffrey R., Temecula, CA, UNITED STATES Smith, Nicholas D., San Diego, CA, UNITED STATES Tehrani, Lida R., San Diego, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2001-341582P 20011218 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907

NUMBER OF CLAIMS: 26 EXEMPLARY CLAIM: 1 LINE COUNT: 2022

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Triazole compounds substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl which are metabotropic glutamate receptor--subtype 5 ("mGluR5") modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, bipolar disorder and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 507270-04-4P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-

yl)phenyl]acetamide

(preparation of diaryl substituted tetrazole modulators of metabotropic glutamate receptor-5)

RN 507270-04-4 USPATFULL

CN Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 19 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2005:11742 USPATFULL

TITLE: Methods of identifying HCV NS5B polymerase inhibitors

and their uses

INVENTOR(S): Lu, Henry, Foster City, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2003-471444P 20030515 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT,

4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA,

94111

NUMBER OF CLAIMS: 50 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 26 Drawing Page(s)

LINE COUNT: 2407

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a variety of screening methods, utilizing both biochemical and cellular assays as well as in silicon assays, for use in the discovery of agents active in the treating or preventing Hepatitis C virus (HCV) infections. The invention also relates to methods of inhibiting an HCV NS5B polymerase and to the treatment and/or prevention of HCV infections with compounds having specified binding properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 667931-24-0 667931-30-8 667931-46-6

(methods of identifying hepatitis C virus gene NS5B polymerase inhibitors and their uses)

RN 667931-24-0 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-30-8 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-(2,6-dichlorophenyl)-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 667931-46-6 USPATFULL

CN Acetamide, 2,2-dichloro-N-[2-[3-[2-(4-morpholinyl)-6-(trifluoromethyl)phenyl]-5-isoxazolyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 20 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2004:328092 USPATFULL

TITLE: Heteroaryl substituted imidazole modulators of

metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D.P., San Diego, CA, UNITED STATES

Huang, Dehua, San Diego, CA, UNITED STATES

Smith, Nicholas D., San Diego, CA, UNITED STATES

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2	2004259917	A1	20041223	
APPLICATION INFO.:	US 2	2004-499392	A1	20040617	(10)
	WO 2	2002-US40237		20021216	

NUMBER DATE
-----US 2001-341963P 20011219 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1 LINE COUNT: 1795

PRIORITY INFORMATION:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Imidazole compounds substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl, are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, bipolar disorder and panic, as well as in the treatment of pain, circadian rhythm disorders, and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

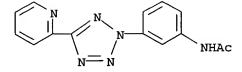
IT 507270-04-4P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-

yl)phenyl]acetamide

(preparation of diaryl substituted tetrazole modulators of metabotropic glutamate receptor-5)

RN 507270-04-4 USPATFULL

CN Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)



L54 ANSWER 21 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2004:240480 USPATFULL

TITLE: Heteroaryl substituted tetrazole modulators of

metabotrophic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D P, San Diego, CA, UNITED STATES

Chen, Chixu, San Diego, CA, UNITED STATES Reger, Thomas S, San Diego, CA, UNITED STATES Roppe, Jeffrey R, Temecula, CA, UNITED STATES Smith, Nicholas D, San Diego, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2001-327132P 20011004 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907

NUMBER OF CLAIMS: 45 EXEMPLARY CLAIM: 1

LINE COUNT: 4657

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tetrazole compounds substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl, are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, and panic, as well as in the treatment of pain and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 507270-04-4P, N-[3-(5-Pyridin-2-yl-2H-tetrazol-2-

yl)phenyl]acetamide

(preparation of diaryl substituted tetrazole modulators of metabotropic glutamate receptor-5)

RN 507270-04-4 USPATFULL

CN Acetamide, N-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 22 OF 23 USPATFULL on STN

ACCESSION NUMBER: 2004:127571 USPATFULL

TITLE: Concomitant drugs

INVENTOR(S): Ohkawa, Shinegori, Takatsuki-shi, JAPAN

Naruo, Kenichi, Sanda-shi, JAPAN Miwatashi, Seiji, Ikeda-shi, JAPAN

NUMBER DATE

PRIORITY INFORMATION: JP 2000-396220 20001226

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Mark Chao, Takeda Pharmaceuticals North America Inc,

Intellectual Property Department, Suite 500 475 Half

Day Road, Lincolnshire, IL, 60069

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1 LINE COUNT: 8688

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a pharmaceutical agent containing one or more kinds of a p38 MAP kinase inhibitor and/or a TNF-α production inhibitor and one or more kinds of drugs selected from the group consisting of (1) a non-steroidal antiinflammatory drug, (2) a disease-modifying anti-rheumatic drug, (3) an anti-cytokine drug, (4) an immunomodulator, (5) a steroid and (6) a c-Jun N-terminal kinase inhibitor in combination. This combination agent is useful as a prophylactic or therapeutic agent of the diseases such as rheumatism, arthritis and the like, and other diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 303162-77-8P 303162-91-6P 303162-92-7P

(combination drugs containing p38MAP kinase inhibitors and/or TNF- $\alpha$  production inhibitors with other specified agents)

RN 303162-77-8 USPATFULL

CN Benzeneacetamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-91-6 USPATFULL

CN Benzamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 303162-92-7 USPATFULL

CN Benzenepropanamide, N-[4-[2-(2-chlorophenyl)-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L54 ANSWER 23 OF 23 USPATFULL on STN

ACCESSION NUMBER:

2004:95421 USPATFULL

TITLE:

Substituted thiazole derivatives bearing 3-pyridyl

groups, process for preparing the same and use thereof

INVENTOR(S):

Kuroda, Noritaka, Toyono-gun, JAPAN Nara, Yoshi, Suita-shi, JAPAN

Hashiguchi, Shohei, Toyonaka-shi, JAPAN

Tasaka, Akihiro, Suita-shi, JAPAN Kusaka, Masami, Kobe-shi, JAPAN Yamaoka, Masuo, Kobe-shi, JAPAN

Kaku, Tomohiro, Nishinomiya-shi, JAPAN

		NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US	2004072876 2003-433910 2001-JP10723	A1 A1	20040415 20030604 20011207	(10)

DOCUMENT TYPE: FILE SEGMENT:

APPLICATION

Utility

LEGAL REPRESENTATIVE:

TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,

LINCOLNSHIRE, IL, 60069

NUMBER OF CLAIMS: 60 EXEMPLARY CLAIM: 1 LINE COUNT: 5677

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a pharmaceutical composition having a steroid C.sub.17,20-lyase inhibitory activity, which is useful as a prophylactic or therapeutic agent of prostatism, tumor such as breast cancer and the like, more particularly, a steroid C.sub.17,20-lyase inhibitor containing a compound represented by the formula: ##STR1##

wherein A.sup.1 is an aromatic hydrocarbon group optionally having substituents or a heterocyclic group optionally having substituents, one of A.sup.2 and A.sup.3 is a hydrogen atom, a halogen atom, a C.sub.1-4 aliphatic hydrocarbon group optionally having substituents or an optionally esterified carboxyl group, the other of A.sup.2 and A.sup.3 is an aromatic hydrocarbon group optionally having substituents or a heterocyclic group optionally having substituents, and at least one of A.sup.1, A.sup.2 and A.sup.3 is a 3-pyridyl group optionally having substituents, or a salt thereof or a prodrug thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 435272-05-2P 435272-60-9P, N-[3-[4-(4-Methylpyridin-3-

yl) -1, 3-thiazol-2-yl]phenyl]acetamide 435272-63-2P,

N-[4-Methyl-3-[4-(4-methylpyridin-3-y1)-1,3-thiazol-2-y1]phenyl]acetamide (preparation of substituted pyridylthiazole derivs. as steroid C17,20 lyase inhibitors for treatment and prevention of sex hormone-dependent diseases)

RN 435272-05-2 USPATFULL

CN Acetamide, N-[3-[2-[4-(trifluoromethyl)-3-pyridinyl]-4-thiazolyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 435272-60-9 USPATFULL

RN 435272-63-2 USPATFULL

CN Acetamide, N-[4-methyl-3-[4-(4-methyl-3-pyridinyl)-2-thiazolyl]phenyl](9CI) (CA INDEX NAME)

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